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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|----|--------|--|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | JAN 08 | CHEMLIST enhanced with New Zealand Inventory of Chemicals |
| NEWS | 3 | JAN 16 | CA/CAPLUS Company Name Thesaurus enhanced and reloaded |
| NEWS | 4 | JAN 16 | IPC version 2007.01 thesaurus available on STN |
| NEWS | 5 | JAN 16 | WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data |
| NEWS | 6 | JAN 22 | CA/CAPLUS updated with revised CAS roles |
| NEWS | 7 | JAN 22 | CA/CAPLUS enhanced with patent applications from India |
| NEWS | 8 | JAN 29 | PHAR reloaded with new search and display fields |
| NEWS | 9 | JAN 29 | CAS Registry Number crossover limit increased to 300,000 in multiple databases |
| NEWS | 10 | FEB 15 | PATDPASPC enhanced with Drug Approval numbers |
| NEWS | 11 | FEB 15 | RUSSIAPAT enhanced with pre-1994 records |
| NEWS | 12 | FEB 23 | KOREAPAT enhanced with IPC 8 features and functionality |
| NEWS | 13 | FEB 26 | MEDLINE reloaded with enhancements |
| NEWS | 14 | FEB 26 | EMBASE enhanced with Clinical Trial Number field |
| NEWS | 15 | FEB 26 | TOXCENTER enhanced with reloaded MEDLINE |
| NEWS | 16 | FEB 26 | IFICDB/IFIPAT/IFIUDB reloaded with enhancements |
| NEWS | 17 | FEB 26 | CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases |
| NEWS | 18 | MAR 15 | WPIDS/WPIX enhanced with new FRAGHITSTR display format |
| NEWS | 19 | MAR 16 | CASREACT coverage extended |
| NEWS | 20 | MAR 20 | MARPAT now updated daily |
| NEWS | 21 | MAR 22 | LWPI reloaded |
| NEWS | 22 | MAR 30 | RDISCLOSURE reloaded with enhancements |
| NEWS | 23 | APR 02 | JICST-EPLUS removed from database clusters and STN |
| NEWS | 24 | APR 30 | GENBANK reloaded and enhanced with Genome Project ID field |
| NEWS | 25 | APR 30 | CHEMCATS enhanced with 1.2 million new records |
| NEWS | 26 | APR 30 | CA/CAPLUS enhanced with 1870-1889 U.S. patent records |
| NEWS | 27 | APR 30 | INPADOC replaced by INPADOCDB on STN |
| NEWS | 28 | MAY 01 | New CAS web site launched |
| NEWS | 29 | MAY 08 | CA/CAPLUS Indian patent publication number format defined |
| | | | |
| NEWS EXPRESS | | | NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006. |
| | | | |
| NEWS HOURS | | | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | | | Welcome Banner and News Items |
| NEWS IPC8 | | | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:03:29 ON 14 MAY 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:03:39 ON 14 MAY 2007

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STRUCTURE FILE UPDATES: 13 MAY 2007 HIGHEST RN 934672-05-6

DICTIONARY FILE UPDATES: 13 MAY 2007 HIGHEST RN 934672-05-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

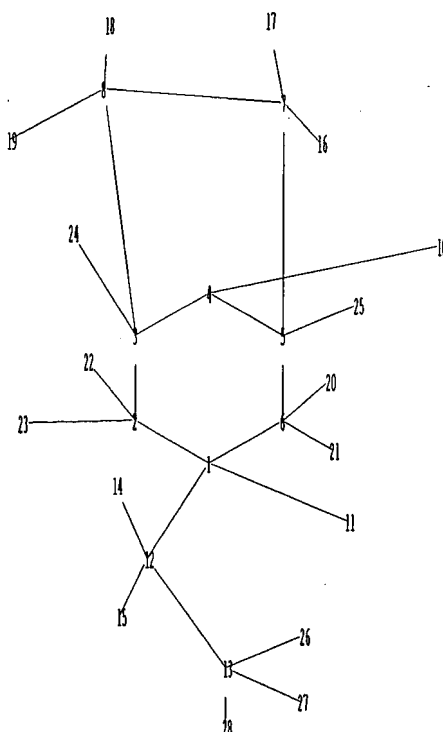
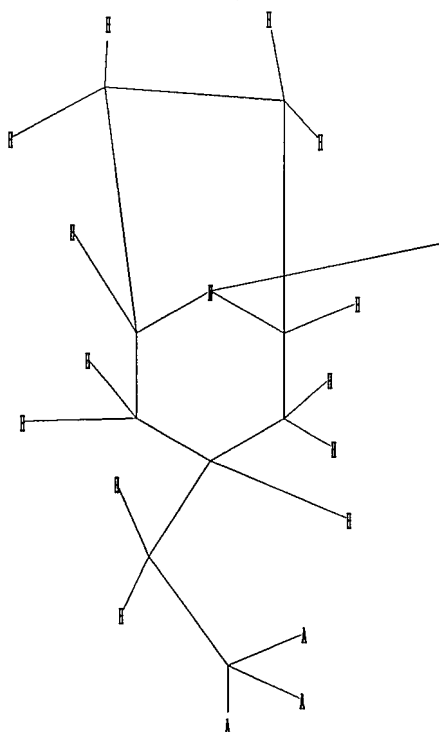
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REGISTRY includes numerically searchable data for experimental and
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experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10575839.str



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chain nodes :
10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
1 2 3 4 5 6 7 8
ring/chain nodes :
26 27 28
chain bonds :
1-11 1-12 2-22 2-23 3-24 4-10 5-25 6-20 6-21 7-16 7-17 8-18 8-19 12-13
12-14 12-15 13-26 13-27 13-28
ring bonds :
1-2 1-6 2-3 3-4 3-8 4-5 5-6 5-7 7-8
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-10 5-6 13-26 13-27 13-28
exact bonds :
1-11 1-12 2-22 2-23 3-8 3-24 5-7 5-25 6-20 6-21 7-8 7-16 7-17 8-18
8-19 12-13 12-14 12-15
isolated ring systems :
containing 1 :

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:CLASS 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS
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→ 11

L1 HAS NO ANSWERS

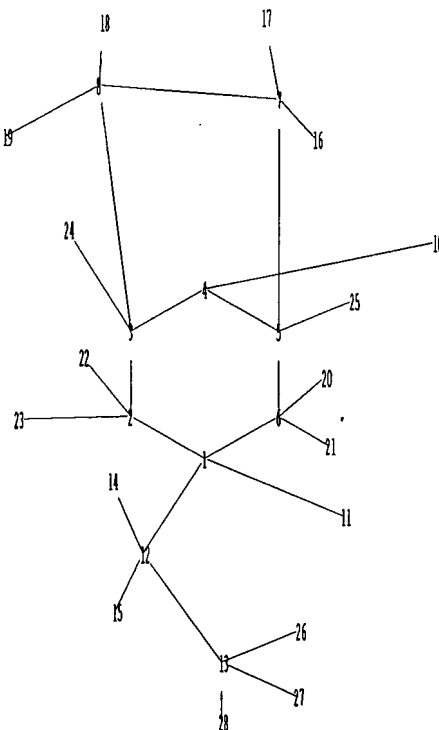
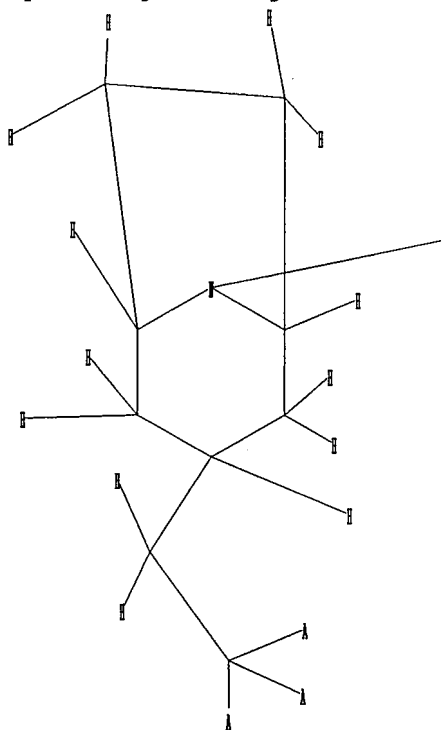
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10575839.str



chain nodes :

10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25

ring nodes :

1 2 3 4 5 6 7 8

ring/chain nodes :

26 27 28

chain bonds :

1-11 1-12 2-22 2-23 3-24 4-10 5-25 6-20 6-21 7-16 7-17 8-18 8-19 12-13
12-14 12-15 13-26 13-27 13-28

ring bonds :

1-2 1-6 2-3 3-4 3-8 4-5 5-6 5-7 7-8

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-10 5-6 13-26 13-27 13-28

exact bonds :

1-11 1-12 2-22 2-23 3-8 3-24 5-7 5-25 6-20 6-21 7-8 7-16 7-17 8-18
8-19 12-13 12-14 12-15

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:CLASS 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS
28:CLASS

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

L2 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 12

SAMPLE SEARCH INITIATED 09:05:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 10288 TO ITERATE

19.4% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 199682 TO 211838
PROJECTED ANSWERS: 1 TO 238

L3 1 SEA SSS SAM L2

=> s 12 full

FULL SEARCH INITIATED 09:05:16 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 205421 TO ITERATE

100.0% PROCESSED 205421 ITERATIONS 211 ANSWERS
SEARCH TIME: 00.00.01

L4 211 SEA SSS FUL L2

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 173.00 | 173.21 |

FILE 'CAPLUS' ENTERED AT 09:05:21 ON 14 MAY 2007
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FILE LAST UPDATED: 13 May 2007 (20070513/ED)

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=> s 14 full
L5 11 L4

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:146107 CAPLUS

DOCUMENT NUMBER: 146:229203

TITLE: Preparation of azoniabicyclooctanes as M3 muscarinic acetylcholine receptor antagonists.

INVENTOR(S): Busch-Petersen, Jakob; Laine, Dramane Ibrahim; Palovich, Michael R.; Davis, Roderick S.; Fu, Wei; Xie, Haibo

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 42pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

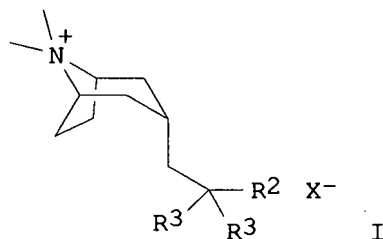
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007016639 | A2 | 20070208 | WO 2006-US30153 | 20060802 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRIORITY APPLN. INFO.:

US 2005-704579P P 20050802

OTHER SOURCE(S): MARPAT 146:229203

GI



AB Title compds. [I; R1, R2 = (substituted) Ph, thienyl, pyridyl, PhCH2, pyrimidinyl, thiazolyl, isothiazolyl, cycloalkyl, etc.; R3 = H, OH; X = physiol. acceptable anion], were prepared for treatment of chronic obstructive pulmonary disease, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, emphysema, and allergic rhinitis (no data). Thus, 2-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-1,1-bis(2-methyl-2-thienylethoxy)ethanol (preparation given) was treated with MeBr in tert-Bu Me ether to give 61% (3-endo)-3-[2-hydroxy-2,2-bis(3-methyl-2-thienyl)ethyl]-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide.

IT 924646-68-4P 924646-70-8P 924646-72-0P

924646-74-2P 924646-76-4P 924646-78-6P
 924655-67-4P 924655-70-9P 924655-72-1P
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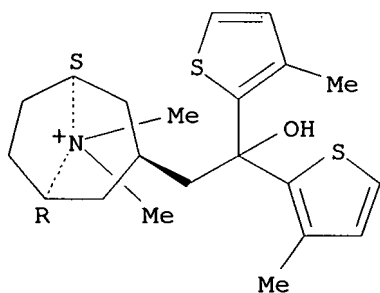
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(claimed compound; preparation of azoniabicyclooctanes as M3 muscarinic
 acetylcholine receptor antagonists)

RN 924646-68-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

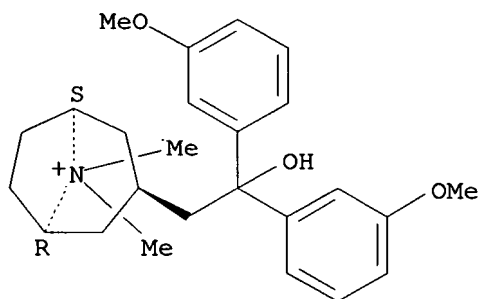


● Br⁻

RN 924646-70-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

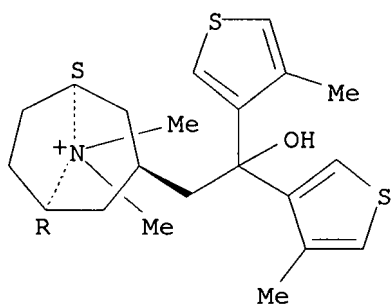


● I⁻

RN 924646-72-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

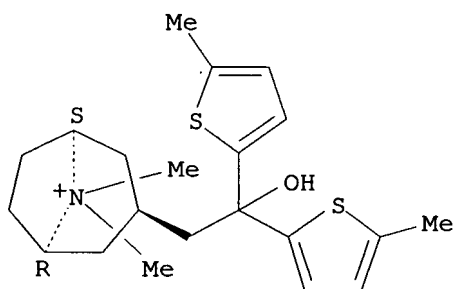
Relative stereochemistry.



● Br⁻

RN 924646-74-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

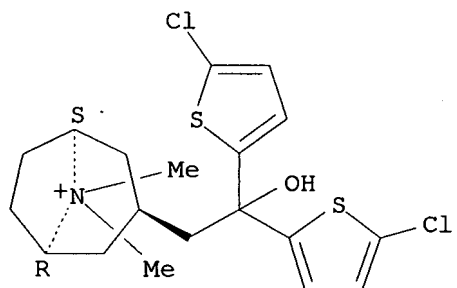
Relative stereochemistry.



● Br⁻

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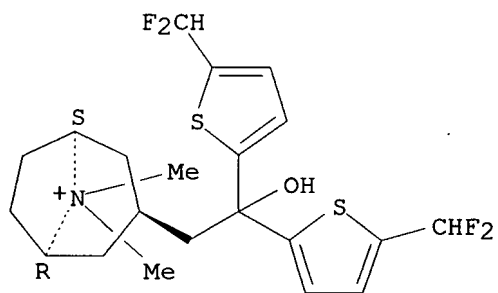
Relative stereochemistry.



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CN INDEX NAME NOT YET ASSIGNED

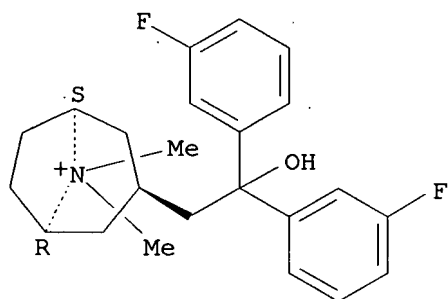
Relative stereochemistry.



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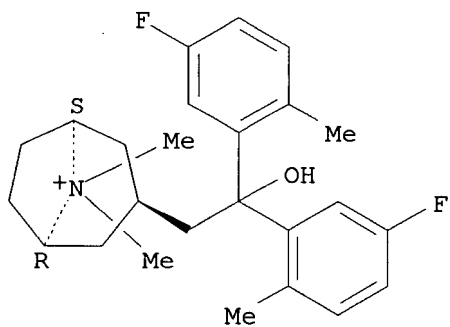
Relative stereochemistry.



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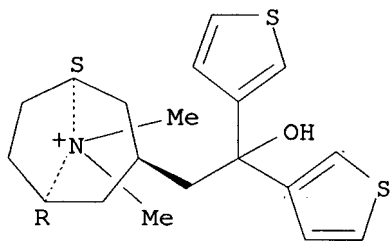
Relative stereochemistry.



● Br⁻

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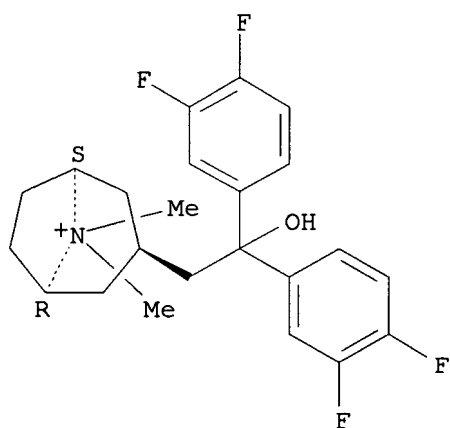
Relative stereochemistry.



● I⁻

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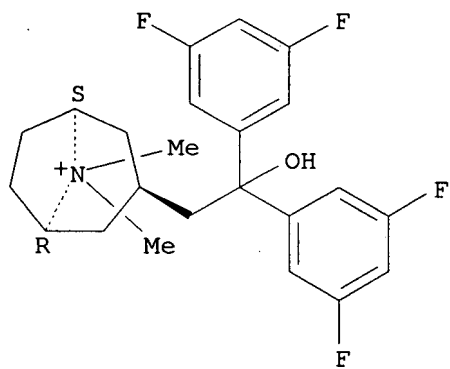
Relative stereochemistry.



● Br⁻

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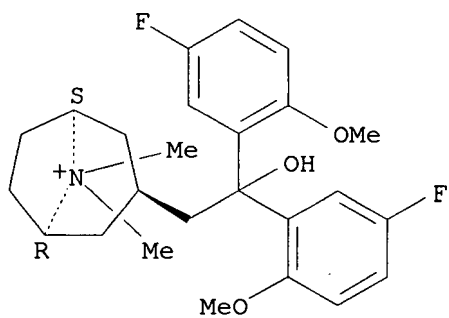
Relative stereochemistry.



● Br⁻

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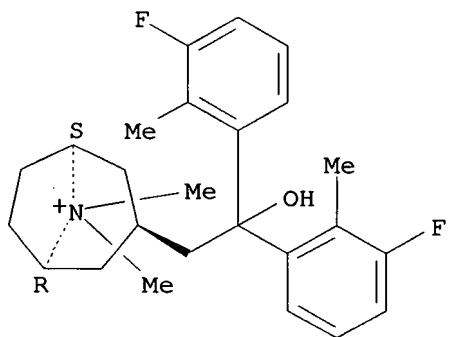
Relative stereochemistry.



● Br⁻

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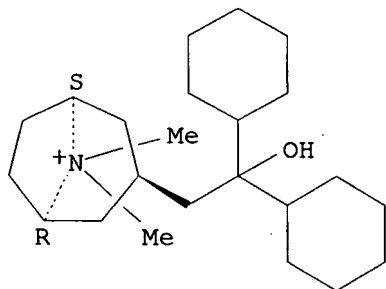
Relative stereochemistry.



● Br⁻

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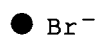
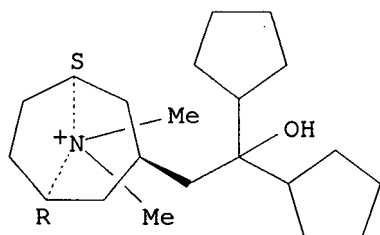
Relative stereochemistry.



● Br⁻

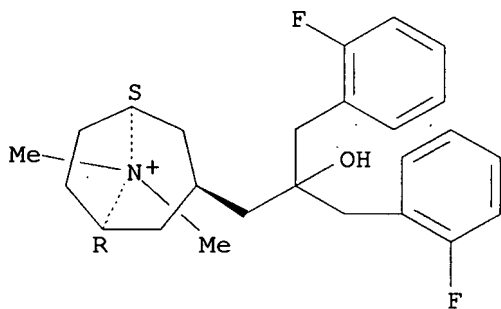
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CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



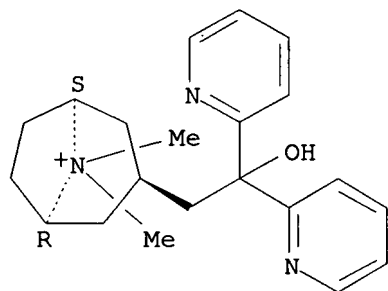
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Relative stereochemistry.



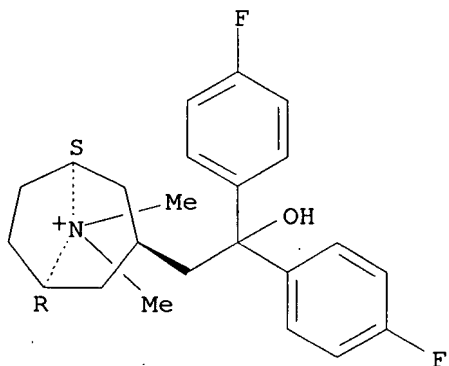
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CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



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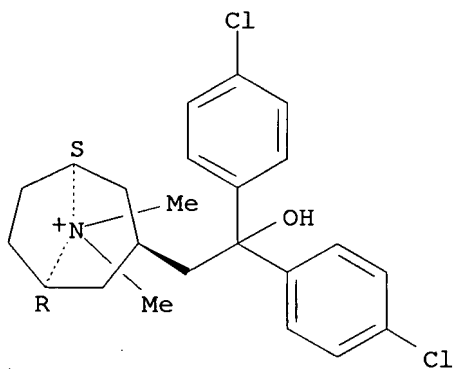
Relative stereochemistry.



● I⁻

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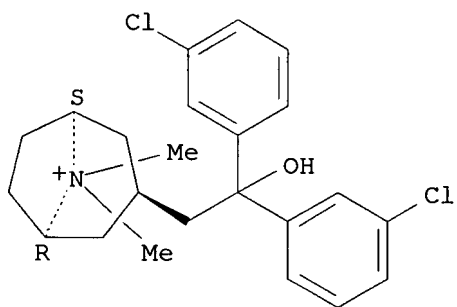
Relative stereochemistry.



● I⁻

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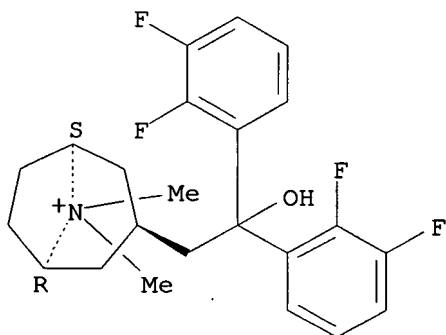
Relative stereochemistry.



● I⁻

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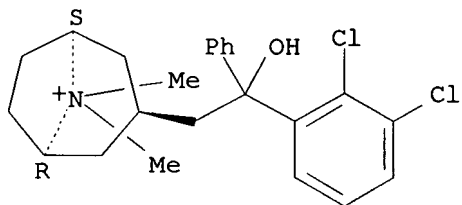
Relative stereochemistry.



● I⁻

RN 924655-91-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● I⁻

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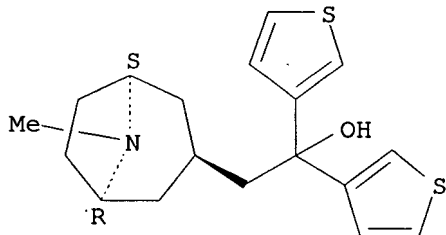
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of azoniabicyclooctanes as M3 muscarinic acetylcholine receptor
antagonists)

RN 924646-55-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

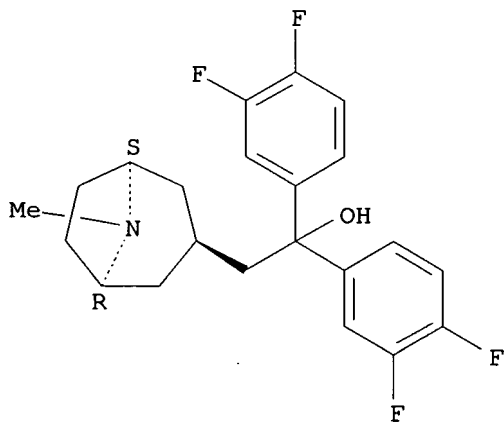
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RN 924646-57-1 CAPLUS

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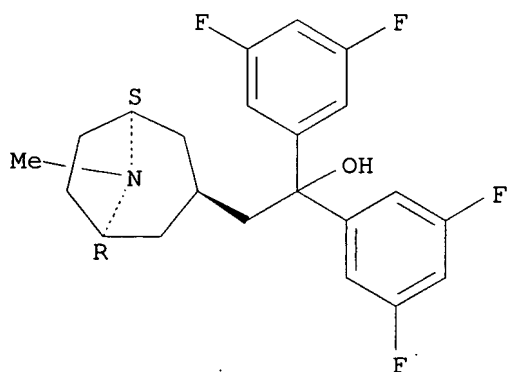
Relative stereochemistry.



RN 924646-59-3 CAPLUS

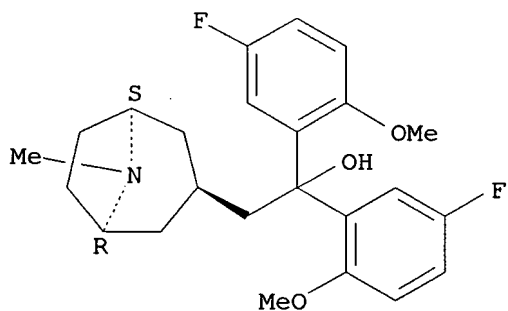
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Relative stereochemistry.



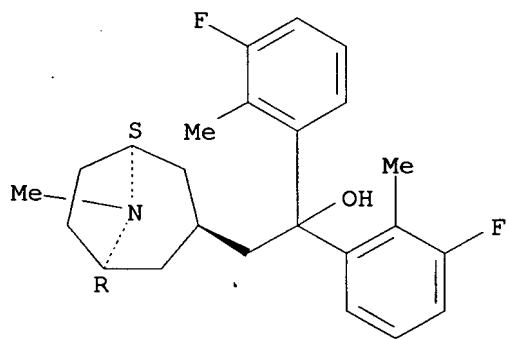
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Relative stereochemistry.



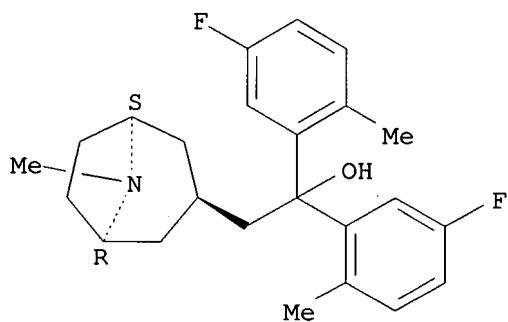
RN 924646-63-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(3-fluoro-2-methylphenyl)-8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



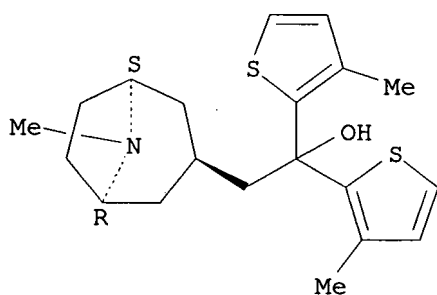
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CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(5-fluoro-2-methylphenyl)-8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



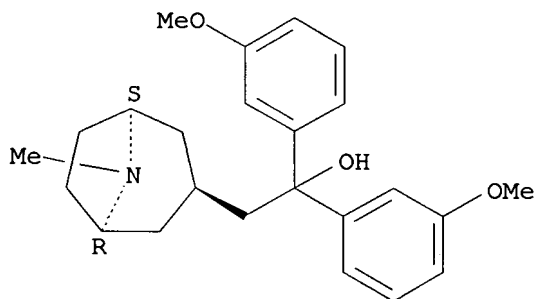
RN 924646-67-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



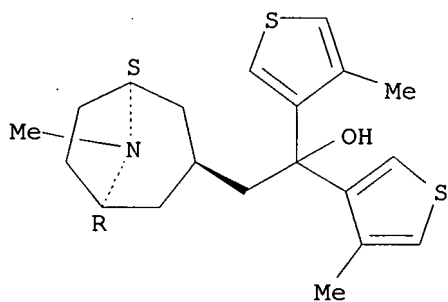
RN 924646-69-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(3-methoxyphenyl)-
8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



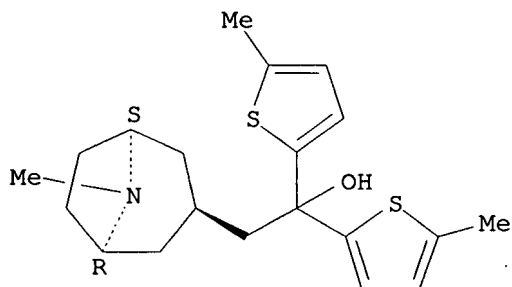
RN 924646-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



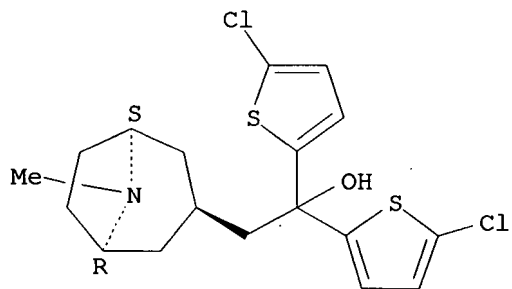
RN 924646-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



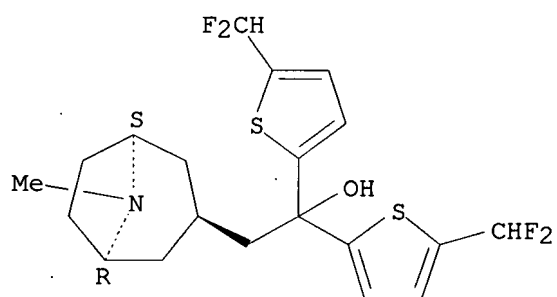
RN 924646-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



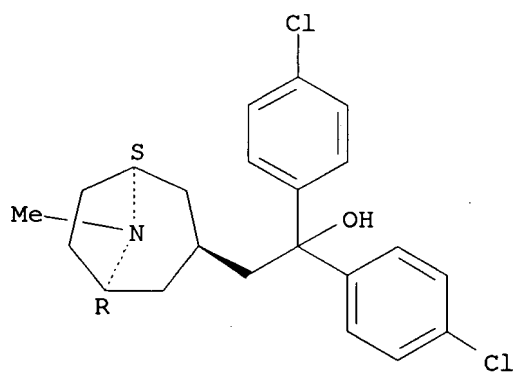
RN 924646-77-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis[5-(difluoromethyl)-2-thienyl]-8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



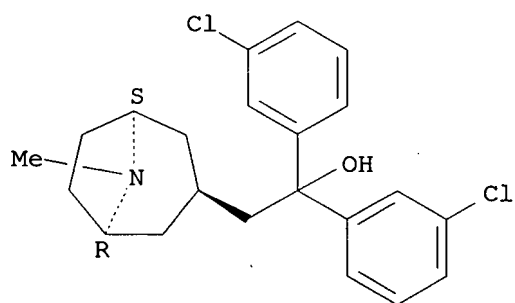
RN 924646-79-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



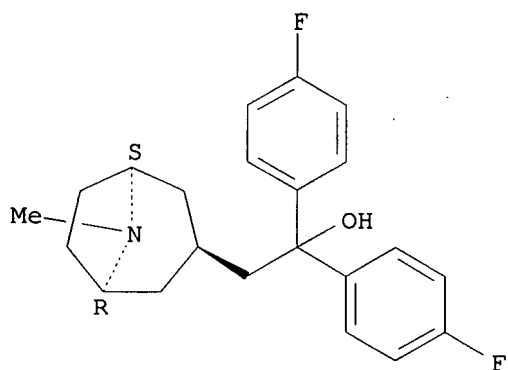
RN 924646-80-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



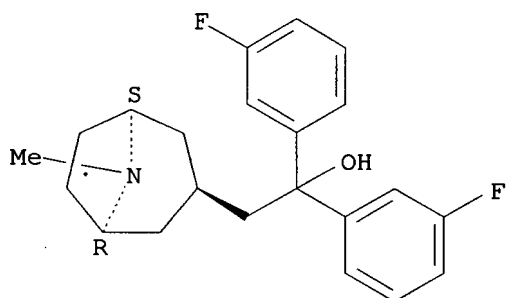
RN 924646-81-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



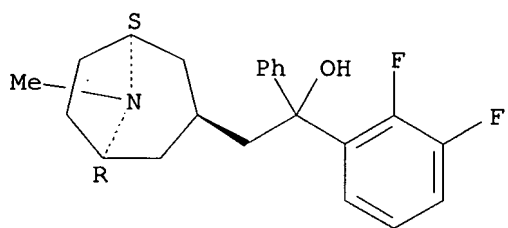
RN 924646-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



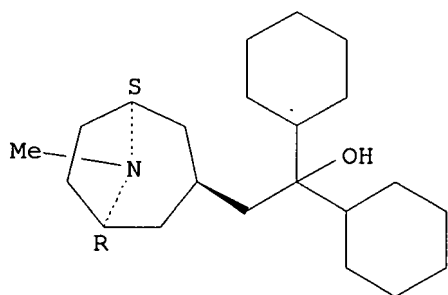
RN 924646-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



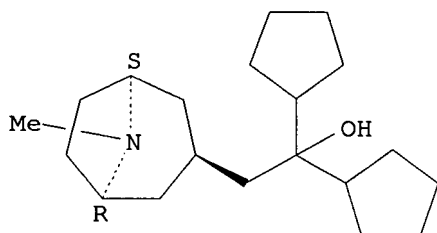
RN 924655-99-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



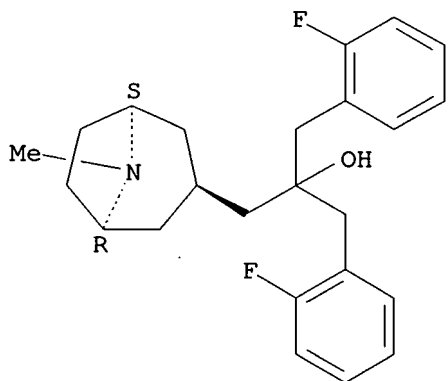
RN 924656-01-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



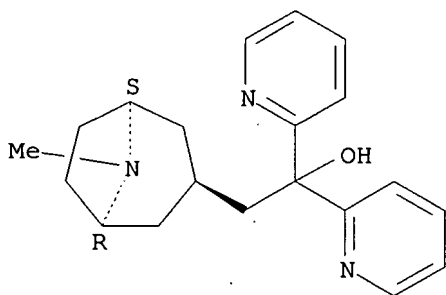
RN 924656-03-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis[(2-fluorophenyl)methyl]-8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



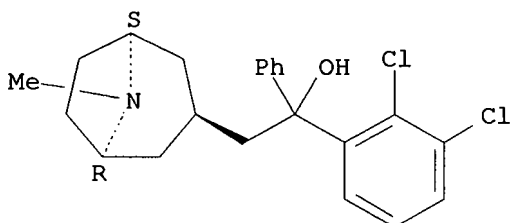
RN 924656-05-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 924656-25-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:144089 CAPLUS

DOCUMENT NUMBER: 146:229182

TITLE: Preparation of 3-(arylethenyl)-8,8-dimethyl-8-azoniabicyclo[3.3.1]octanes as M3 muscarinic acetylcholine receptor antagonists.

INVENTOR(S): Busch-Petersen, Jakob; Laine, Dramane Ibrahim; Palovich, Michael R.; Davis, Roderick S.; Fu, Wei; Xie, Haibo

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 35pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007016650 | A2 | 20070208 | WO 2006-US30218 | 20060802 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |

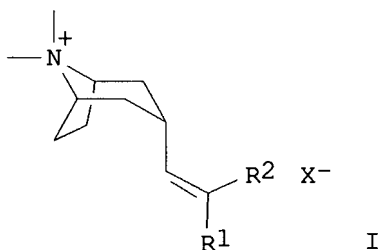
PRIORITY APPLN. INFO.:

US 2005-704578P

P 20050802

OTHER SOURCE(S): MARPAT 146:229182

GI



AB Title compds. [I; R1, R2 = (substituted) Ph, thienyl, pyridyl, PhCH2, pyrimidinyl, thiazolyl, isothiazolyl, cycloalkyl, etc.; X = pharmaceutically acceptable counterion], were prepared for treatment of COPD, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, emphysema, and allergic rhinitis (no data). Thus, (endo)-3-[2,2-bis(3-hydroxyphenyl)ethenyl]-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide was prepared from tri-Me phosphonoacetate, tropinone, MeI, and 3-methoxyphenylmagnesium bromide.

IT 924646-91-3

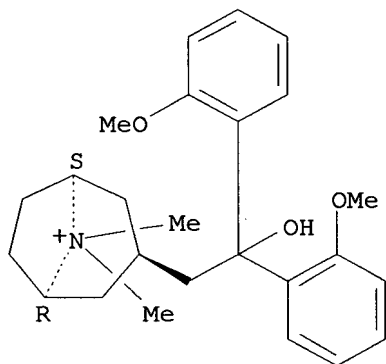
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arylenethenyldimethylazoniabicyclooctanes as M3 muscarinic acetylcholine receptor antagonists)

RN 924646-91-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● I⁻

IT 924646-55-9P 924646-57-1P 924646-59-3P
 924646-61-7P 924646-63-9P 924646-65-1P
 924646-67-3P 924646-68-4P 924646-69-5P
 924646-70-8P 924646-71-9P 924646-72-0P
 924646-73-1P 924646-74-2P 924646-75-3P
 924646-76-4P 924646-77-5P 924646-78-6P
 924646-79-7P 924646-80-0P 924646-81-1P
 924646-82-2P 924646-83-3P 924646-84-4P

RL: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

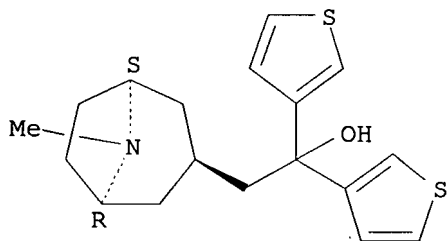
(preparation of arylenethenyldimethylazoniabicyclooctanes as M3 muscarinic

acetylcholine receptor antagonists)

RN 924646-55-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

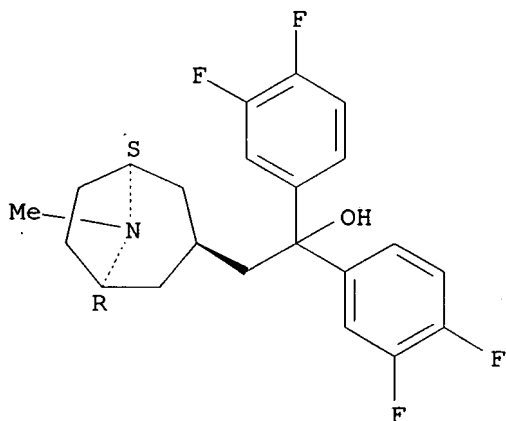
Relative stereochemistry.



RN 924646-57-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(3,4-difluorophenyl)-8-methyl-, (3-exo)- (CA INDEX NAME)

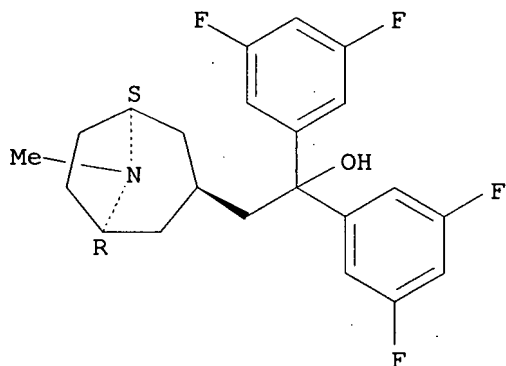
Relative stereochemistry.



RN 924646-59-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(3,5-difluorophenyl)-8-methyl-, (3-exo)- (CA INDEX NAME)

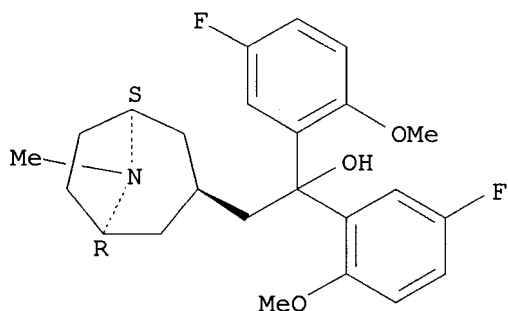
Relative stereochemistry.



RN 924646-61-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

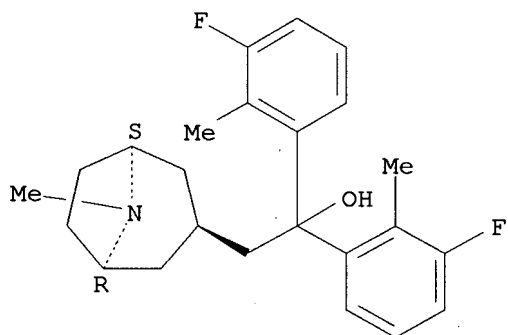
Relative stereochemistry.



RN 924646-63-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(3-fluoro-2-methylphenyl)-8-methyl-, (3-exo)- (CA INDEX NAME)

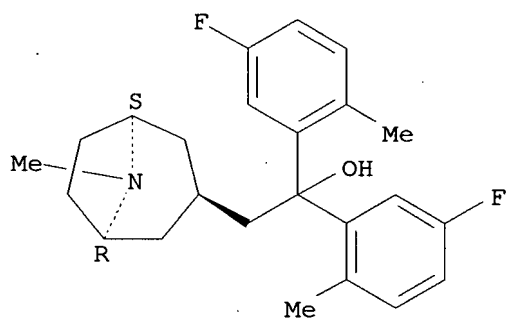
Relative stereochemistry.



RN 924646-65-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(5-fluoro-2-methylphenyl)-8-methyl-, (3-exo)- (CA INDEX NAME)

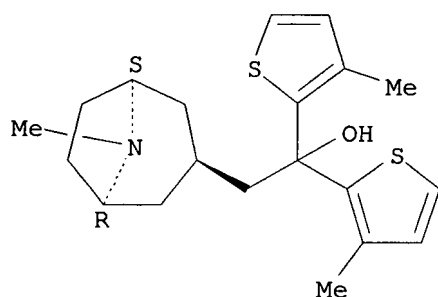
Relative stereochemistry.



RN 924646-67-3 CAPLUS

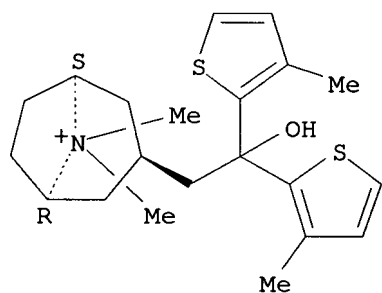
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 924646-68-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

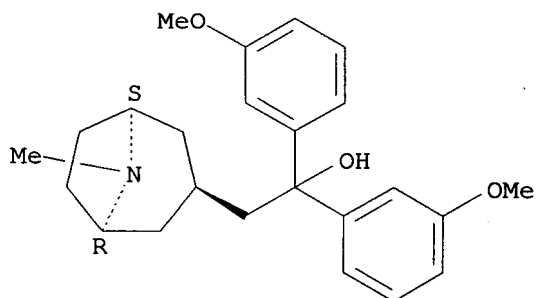
Relative stereochemistry.



● Br⁻

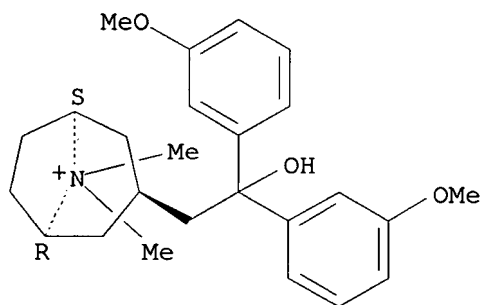
RN 924646-69-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(3-methoxyphenyl)-
8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



RN 924646-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

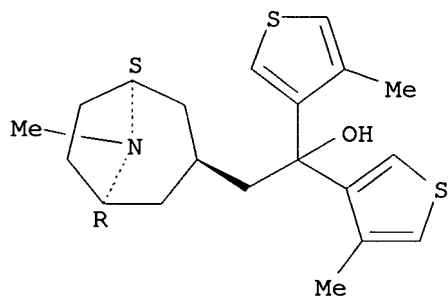
Relative stereochemistry.



● I⁻

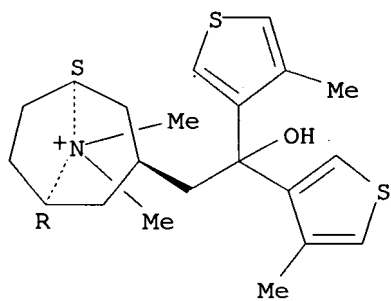
RN 924646-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 924646-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

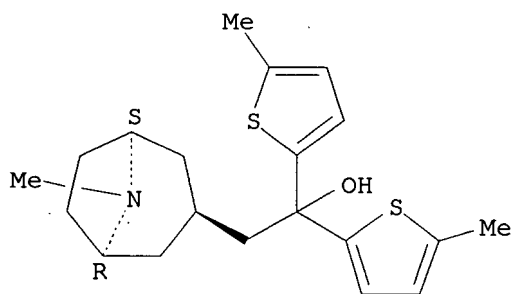
Relative stereochemistry.



● Br⁻

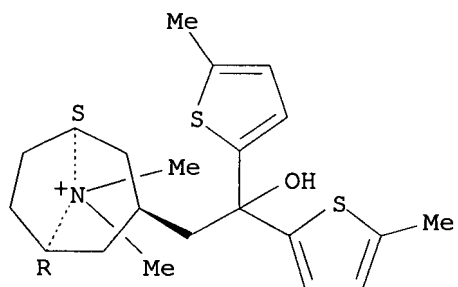
RN 924646-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 924646-74-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

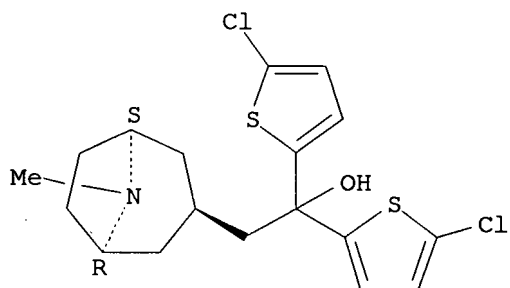
Relative stereochemistry.



● Br⁻

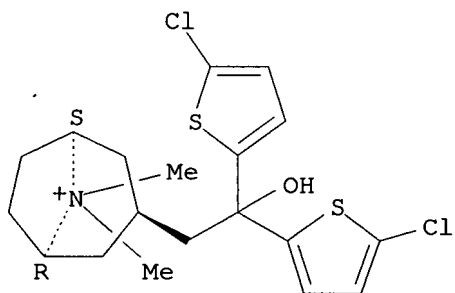
RN 924646-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 924646-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

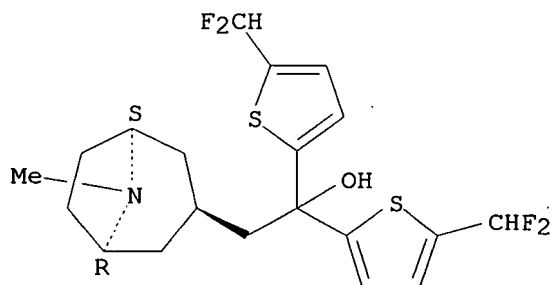


● Br⁻

RN 924646-77-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis[5-(difluoromethyl)-2-thienyl]-8-methyl-, (3-exo)- (CA INDEX NAME)

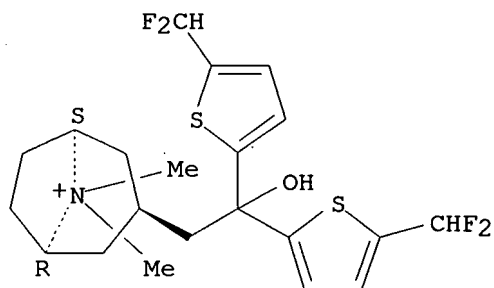
Relative stereochemistry.



RN 924646-78-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

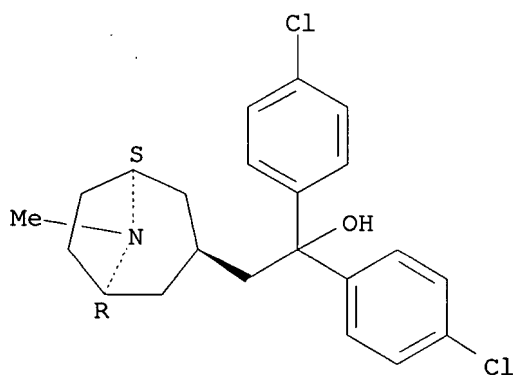


● Br⁻

RN 924646-79-7 CAPLUS

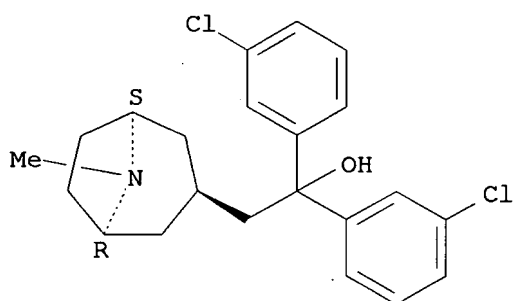
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



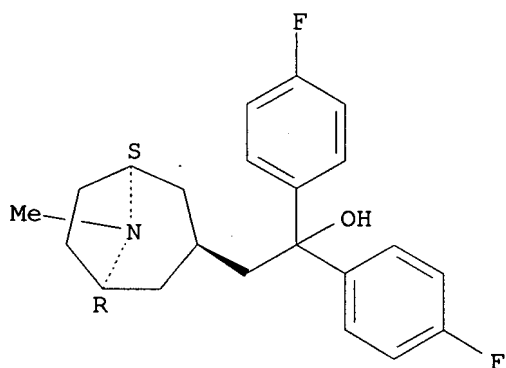
RN 924646-80-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



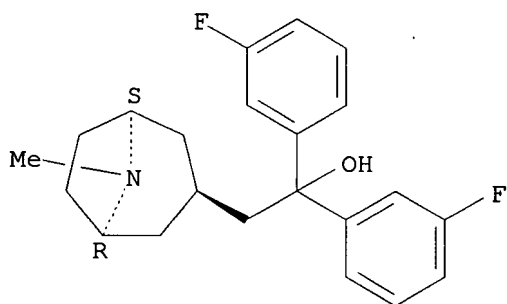
RN 924646-81-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



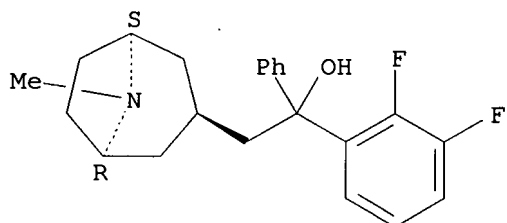
RN 924646-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



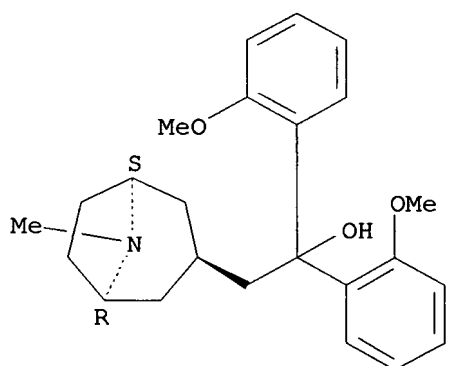
RN 924646-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 924646-89-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -bis(2-methoxyphenyl)-
8-methyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:451115 CAPLUS
DOCUMENT NUMBER: 143:7605
TITLE: A preparation of azabicyclo[3.2.1]octane derivatives,
useful as M3 muscarinic acetylcholine receptor
antagonists
INVENTOR(S): Wan, Zehong; Yan, Hongxing; Palovich, Michael R.;
Laine, Dramane I.; Lee, Dennis; Stavenger, Robert A.;
Goodman, Krista B.; Hilfiker, Mark A.; Cui, Haifeng;
Wang, Jindong; Wang, Mingming; Joseph, P.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2005046586 | A2 | 20050526 | WO 2004-US36663 | 20041104 |
| WO 2005046586 | A3 | 20050728 | | |
| WO 2005046586 | A8 | 20050901 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1682142 | A2 | 20060726 | EP 2004-810294 | 20041104 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS | | | |
| JP 2007510731 | T | 20070426 | JP 2006-539633 | 20041104 |
| PRIORITY APPLN. INFO.: | | | US 2003-517243P | P 20031104 |
| | | | WO 2004-US36663 | W 20041104 |
| OTHER SOURCE(S): | CASREACT 143:7605; MARPAT 143:7605 | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of azabicyclo[3.2.1]octane derivs. of formula I•X- [wherein: X- is an anion; R1 is alkyl, alkenyl, alkylcycloalkyl, or alkyl-OMe, etc.; R2 is (cyclo)alkyl, heterocycloalkyl, or cycloalkylalkyl, etc.], useful as M3 muscarinic acetylcholine receptor antagonists (no biol. data). For instance, quaternary azabicyclo[3.2.1]octane derivative II•Br- was prepared via quaternization of N-methylazabicyclo[3.2.1]octane derivative III by cyclopropylmethyl bromide with a yield of 51%.

IT 852436-01-2P 852436-02-3P 852460-99-2P
852461-00-8P 852461-01-9P 852461-02-0P
852461-03-1P 852461-04-2P 852461-05-3P
852461-06-4P 852461-07-5P 852461-08-6P
852461-09-7P 852461-10-0P 852461-11-1P
852461-12-2P 852461-13-3P 852461-14-4P
852461-18-8P

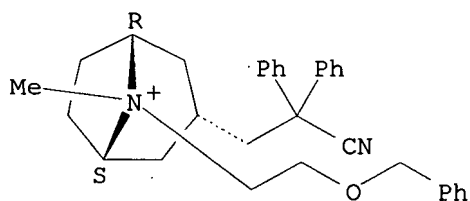
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azabicyclo[3.2.1]octane derivs. useful as M3 muscarinic acetylcholine receptor antagonists)

RN 852436-01-2 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-[2-(phenylmethoxy)ethyl]-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Delisting chemical structure

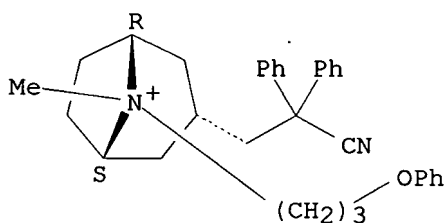


● Br⁻

RN 852436-02-3 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-(3-phenoxypropyl)-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

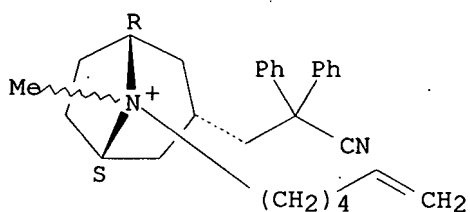


● Br⁻

RN 852460-99-2 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(5-hexenyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

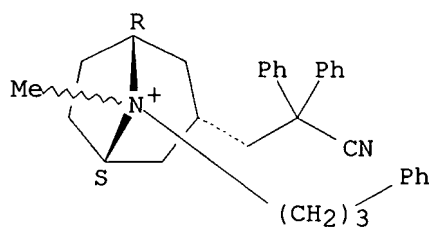


● Br⁻

RN 852461-00-8 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-(3-phenylpropyl)-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

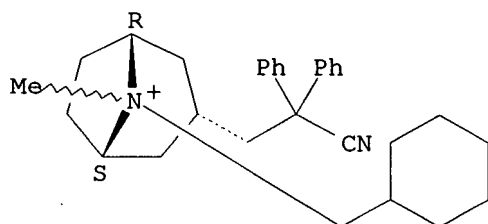


● Br⁻

RN 852461-01-9 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(cyclohexylmethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

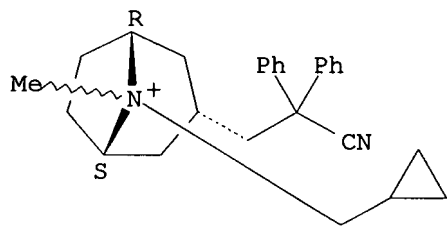


● Br⁻

RN 852461-02-0 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(cyclopropylmethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

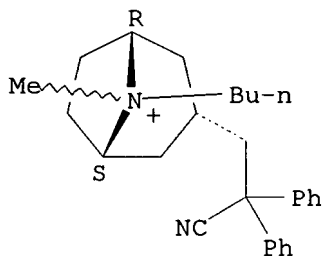


● Br⁻

RN 852461-03-1 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(n-butyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

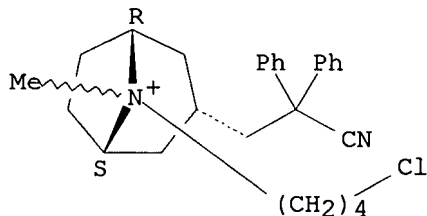


● Br⁻

RN 852461-04-2 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 8-(4-chlorobutyl)-3-(2-cyano-2,2-diphenylethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

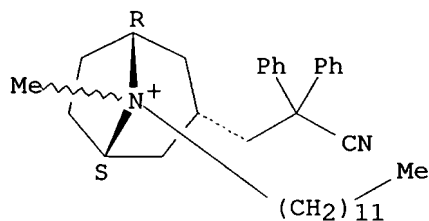


● Br⁻

RN 852461-05-3 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-dodecyl-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

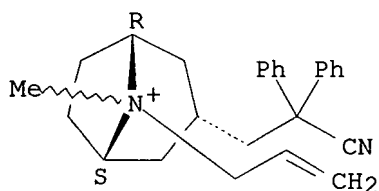


● Br⁻

RN 852461-06-4 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-(2-methylundecyl)-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

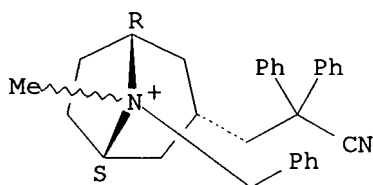


● I⁻

RN 852461-07-5 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-(phenylmethyl)-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

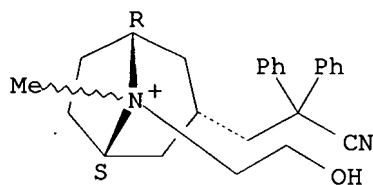


● Br⁻

RN 852461-08-6 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(2-hydroxyethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

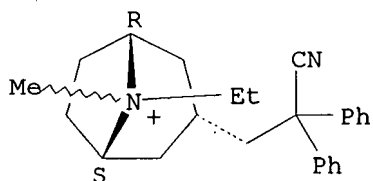


● Br⁻

RN 852461-09-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-ethyl-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

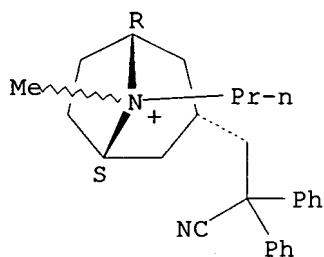


● Br⁻

RN 852461-10-0 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-propyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

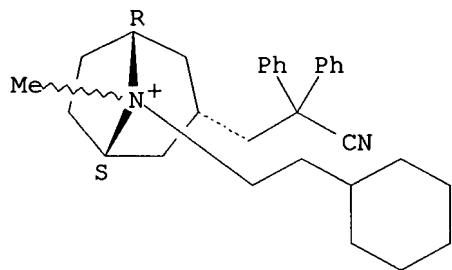


● Br⁻

RN 852461-11-1 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(2-cyclohexylethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

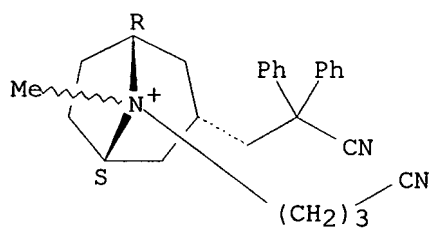


● Br⁻

RN 852461-12-2 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(2-cyclohexylethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

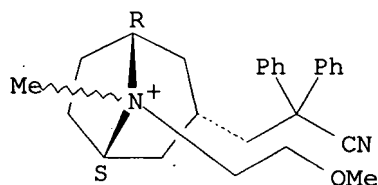


● Br⁻

RN 852461-13-3 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-(2-methoxyethyl)-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

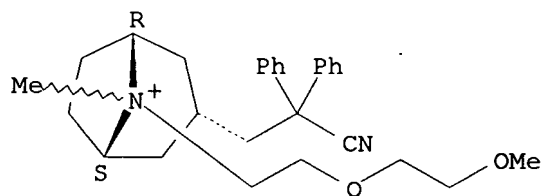


● Br⁻

RN 852461-14-4 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-[2-(2-methoxyethoxy)ethyl]-8-methyl-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

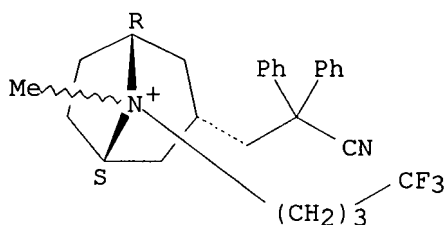


● Br⁻

RN 852461-18-8 CAPLUS

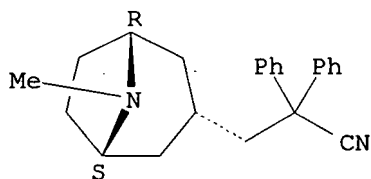
CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8-methyl-8-(4,4,4-trifluorobutyl)-, bromide, (3-endo,8-syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



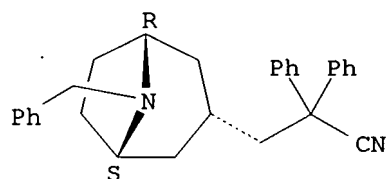
IT 850607-53-3P 852435-95-1P 852435-97-3P
 852435-98-4P 852435-99-5P 852436-00-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of azabicyclo[3.2.1]octane derivs. useful as M3 muscarinic
 acetylcholine receptor antagonists)
 RN 850607-53-3 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, 8-methyl- α,α -
 diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



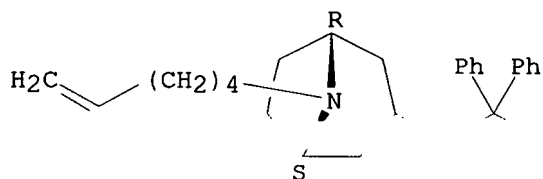
RN 852435-95-1 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, α,α -diphenyl-8-
 (phenylmethyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



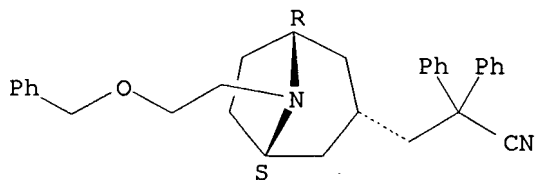
RN 852435-97-3 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, 8-(5-hexenyl)- α,α -
 diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



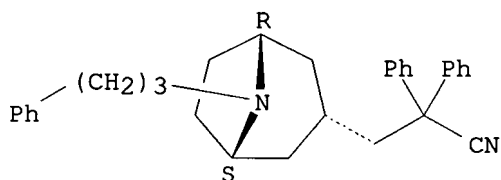
RN 852435-98-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, α,α -diphenyl-8-[2-(phenylmethoxy)ethyl]-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



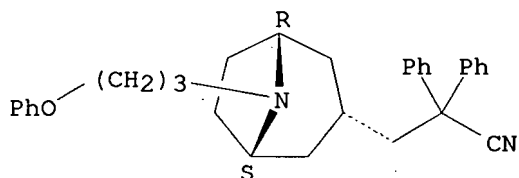
RN 852435-99-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, α,α -diphenyl-8-(3-phenylpropyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 852436-00-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, 8-(3-phenoxypropyl)- α,α -diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:369284 CAPLUS
DOCUMENT NUMBER: 142:423894
TITLE: 8-Methyl-8-azabicyclo[3.2.1]octane derivative
muscarinic acetylcholine receptor antagonists, their
preparation, and their therapeutic use
INVENTOR(S): Busch-Petersen, Jakob; Palovich, Michael R.; Wan,
Zehong; Yan, Hongxing; Zhu, Chongjie
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005037280 | A1 | 20050428 | WO 2004-US33638 | 20041012 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, | | | | |

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---------------|----|----------|-----------------|----------|
| AU 2004281724 | A1 | 20050428 | AU 2004-281724 | 20041012 |
| CA 2542657 | A1 | 20050428 | CA 2004-2542657 | 20041012 |
| EP 1677795 | A1 | 20060712 | EP 2004-794886 | 20041012 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR

| | | | | |
|---------------|----|----------|------------------|----------|
| BR 2004015361 | A | 20061212 | BR 2004-15361 | 20041012 |
| CN 1893948 | A | 20070110 | CN 2004-80037266 | 20041012 |
| JP 2007508390 | T | 20070405 | JP 2006-535591 | 20041012 |
| US 2007105895 | A1 | 20070510 | US 2006-575839 | 20060413 |
| NO 2006002042 | A | 20060508 | NO 2006-2042 | 20060508 |

PRIORITY APPLN. INFO.:

| | | |
|-----------------|---|----------|
| US 2003-511009P | P | 20031014 |
| WO 2004-US33638 | W | 20041012 |

OTHER SOURCE(S): MARPAT 142:423894

AB 8-Methyl-8-azabicyclo[3.2.1]octane derivative muscarinic acetylcholine receptor antagonists are provided. Compound preparation is included. Compds. of

the invention may be used to treat muscarinic acetylcholine receptor-mediated diseases.

IT 850607-53-3P 850607-55-5P 850607-65-7P 850607-66-8P

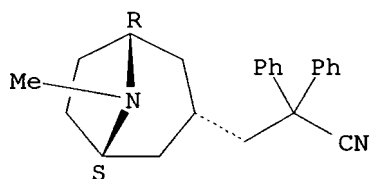
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

RN 850607-53-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, 8-methyl- α,α -diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

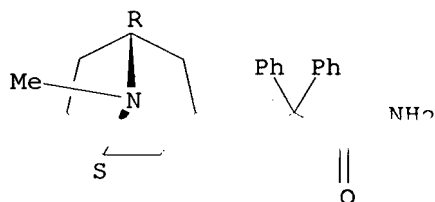
Relative stereochemistry.



RN 850607-55-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanamide, 8-methyl- α,α -diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

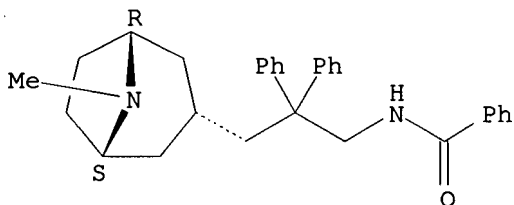
Relative stereochemistry.



RN 850607-65-7 CAPLUS

CN Benzamide, N-[3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]- (9CI) (CA INDEX NAME)

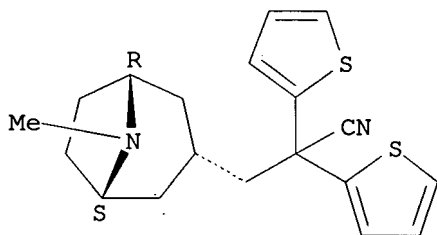
Relative stereochemistry.



RN 850607-66-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanenitrile, 8-methyl- α,α -di-2-thienyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 850607-52-2P 850607-54-4P 850607-56-6P
850607-57-7P 850607-58-8P 850607-59-9P
850607-60-2P 850607-61-3P 850607-62-4P
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850607-68-0P 850607-69-1P 850607-70-4P
850607-71-5P

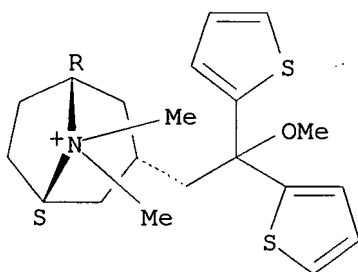
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

RN 850607-52-2 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-methoxy-2,2-di-2-thienylethyl)-8,8-dimethyl-, iodide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

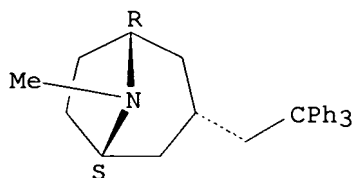


● I⁻

RN 850607-54-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 8-methyl-3-(2,2,2-triphenylethyl)-, (3-endo)-
(9CI) (CA INDEX NAME)

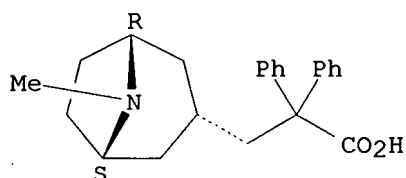
Relative stereochemistry.



RN 850607-56-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanoic acid, 8-methyl- α,α -
diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

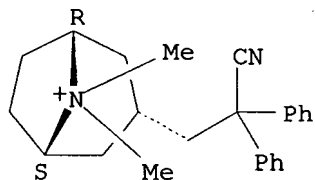
Relative stereochemistry.



RN 850607-57-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8,8-dimethyl-,
iodide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

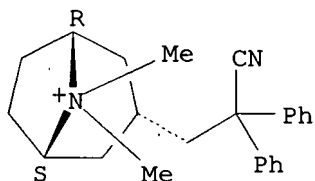


● I⁻

RN 850607-58-8 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-diphenylethyl)-8,8-dimethyl-,
bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

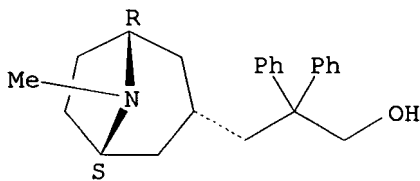


● Br⁻

RN 850607-59-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanol, 8-methyl- β,β -diphenyl-,
(3-endo)- (9CI) (CA INDEX NAME)

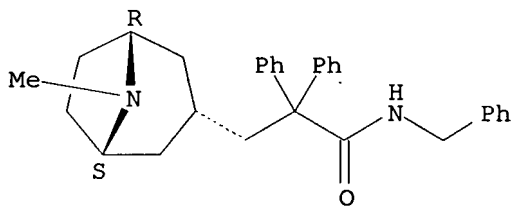
Relative stereochemistry.



RN 850607-60-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanamide, 8-methyl- α,α -diphenyl-
N-(phenylmethyl)-, (3-endo)- (9CI) (CA INDEX NAME)

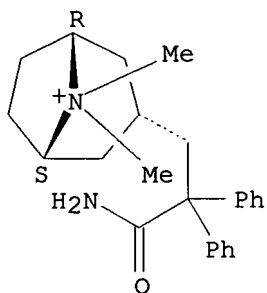
Relative stereochemistry.



RN 850607-61-3 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(3-amino-3-oxo-2,2-diphenylpropyl)-8,8-
dimethyl-, iodide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

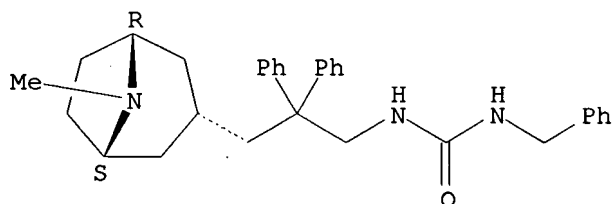


● I⁻

RN 850607-62-4 CAPLUS

CN Urea, N-[3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

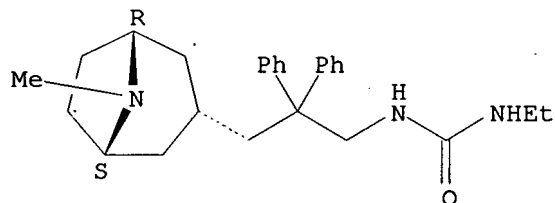
Relative stereochemistry.



RN 850607-63-5 CAPLUS

CN Urea, N-ethyl-N'-[3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]- (9CI) (CA INDEX NAME)

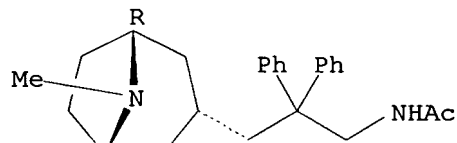
Relative stereochemistry.



RN 850607-64-6 CAPLUS

CN Acetamide, N-[3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]- (9CI) (CA INDEX NAME)

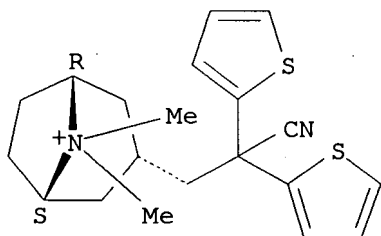
Relative stereochemistry.



RN 850607-67-9 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyano-2,2-di-2-thienylethyl)-8,8-dimethyl-, iodide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

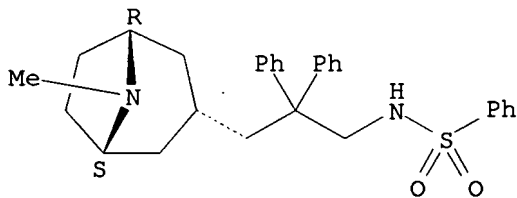


● I⁻

RN 850607-68-0 CAPLUS

CN Benzenesulfonamide, N-[3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]- (9CI) (CA INDEX NAME)

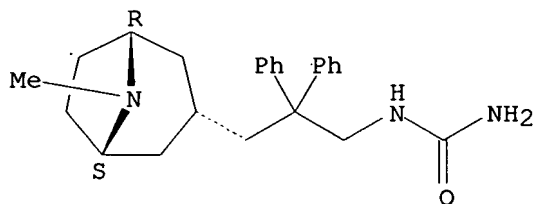
Relative stereochemistry.



RN 850607-69-1 CAPLUS

CN Urea, [3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]- (9CI) (CA INDEX NAME)

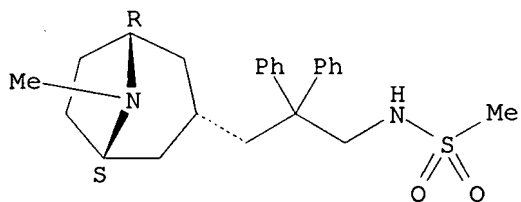
Relative stereochemistry.



RN 850607-70-4 CAPLUS

CN Methanesulfonamide, N-[3-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-2,2-diphenylpropyl]- (9CI) (CA INDEX NAME)

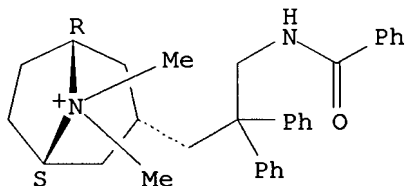
Relative stereochemistry.



RN 850607-71-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(benzoylamino)-2,2-diphenylpropyl]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Br⁻

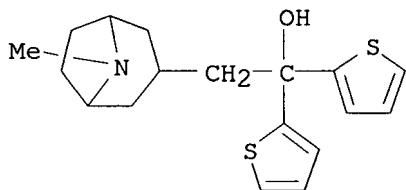
IT 101781-55-9 850607-73-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists, preparation, and therapeutic use)

RN 101781-55-9 CAPLUS

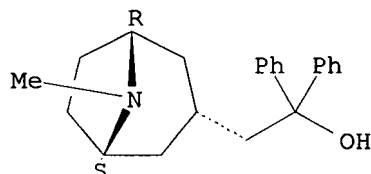
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α,α -di-2-thienyl- (9CI) (CA INDEX NAME)



RN 850607-73-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α,α -diphenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 850607-74-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

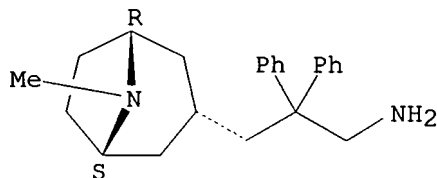
(Reactant or reagent)

(azabicyclooctane derivative muscarinic acetylcholine receptor antagonists,
preparation, and therapeutic use)

RN 850607-74-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-propanamine, 8-methyl- β,β -diphenyl-,
(3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:99316 CAPLUS

DOCUMENT NUMBER: 142:183475

TITLE: Muscarinic acetylcholine receptor antagonists

INVENTOR(S): Belmonte, Kristen E.; Busch-Petersen, Jakob; Laine,
Dramane; Palovich, Michael R.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2005009362 | A2 | 20050203 | WO 2004-US23041 | 20040716 |
| WO 2005009362 | A3 | 20050407 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2004259238 | A1 | 20050203 | AU 2004-259238 | 20040716 |
| CA 2532433 | A1 | 20050203 | CA 2004-2532433 | 20040716 |
| EP 1648461 | A2 | 20060426 | EP 2004-778509 | 20040716 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| CN 1822839 | A | 20060823 | CN 2004-80020652 | 20040716 |
| BR 2004012537 | A | 20060919 | BR 2004-12537 | 20040716 |
| US 2006178396 | A1 | 20060810 | US 2006-565048 | 20060117 |
| NO 2006000777 | A | 20060411 | NO 2006-777 | 20060217 |
| PRIORITY APPLN. INFO.: | | | US 2003-487982P | P 20030717 |

OTHER SOURCE(S): MARPAT 142:183475

AB Muscarinic acetylcholine receptor antagonists, e.g., (3-endo)-3-(2-hydroxy-2,2-diphenylethyl)-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide and

methods of using them are provided. In addition a pharmaceutical composition for

the treatment of muscarinic acetylcholinereceptor-mediated diseases comprising the above compound is disclosed.

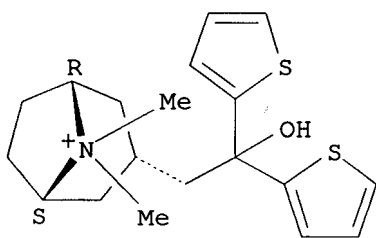
IT 90114-71-9 102133-77-7 106655-98-5
106713-93-3 106954-22-7 834882-84-7
834882-85-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscarinic acetylcholine receptor antagonists)

RN 90114-71-9 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-di-2-thienylethyl)-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

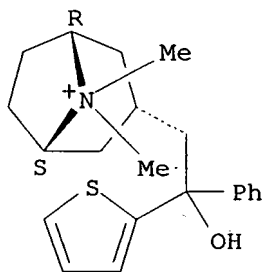


● Br⁻

RN 102133-77-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-2-phenyl-2-(2-thienyl)ethyl]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

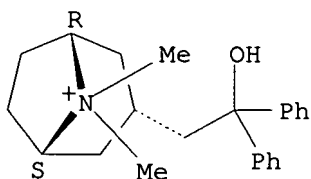


● Br⁻

RN 106655-98-5 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-diphenylethyl)-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

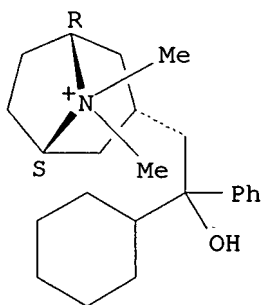


● Br⁻

RN 106713-93-3 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-cyclohexyl-2-hydroxy-2-phenylethyl)-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

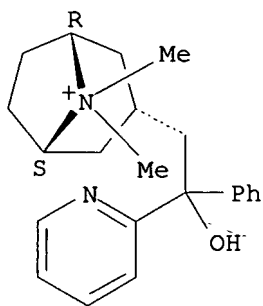


● Br⁻

RN 106954-22-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-2-phenyl-2-(2-pyridinyl)ethyl]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

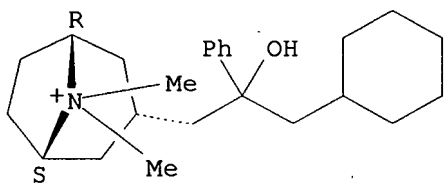


● Br⁻

RN 634662-64-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(3-cyclohexyl-2-hydroxy-2-phenylpropyl)-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Br⁻

RN 834882-85-8 CAPLUS

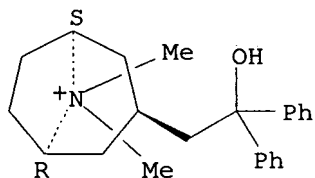
CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-diphenylethyl)-8,8-dimethyl-, (3-endo)-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 805224-99-1

CMF C23 H30 N O

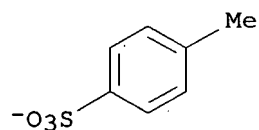
Relative stereochemistry.



CM 2

CRN 16722-51-3

CMF C7 H7 O3 S



L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:164586 CAPLUS

DOCUMENT NUMBER: 120:164586

TITLE: Synthesis of anticholinergics of 3-substituted tropane derivatives

AUTHOR(S): Wu, Peijin; Ran, Yunzhang; Wen, Guangling; Zhang, Qikai

CORPORATE SOURCE: Inst. Pharmacol. Toxicol., Acad. Mil. Med. Sci., Beijing 100850, P.R. China

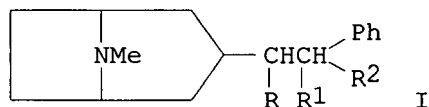
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1993), 3(1), 23-6

CODEN: ZYHZEJ; ISSN: 1005-0108

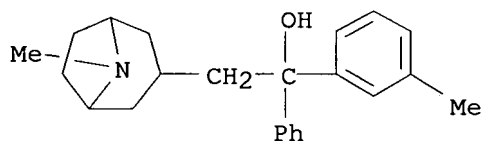
DOCUMENT TYPE: Journal

LANGUAGE:
GI

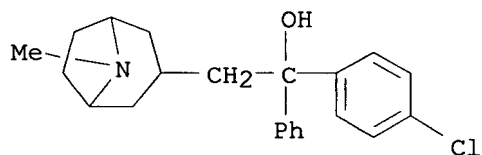
Chinese



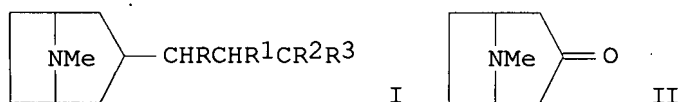
AB Title compds. I (R, R1 = H, OH; RR1 = bond; R2 = 3-MeC6H4, 4-ClC6H4) were prepared starting from Et 3-tropanylacetate. I showed anticholinergic activity in mice.
IT 153307-14-3P 153307-15-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anticholinergic activity of)
RN 153307-14-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α -(3-methylphenyl)- α -phenyl- (9CI) (CA INDEX NAME)



RN 153307-15-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α -(4-chlorophenyl)-8-methyl- α -phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:505186 CAPLUS
DOCUMENT NUMBER: 103:105186
TITLE: Studies on anticholinergics: synthesis of
3-substituted tropane derivatives
AUTHOR(S): Ran, Yunzhang; Wu, Peijin; Wen, Guangling; Zhang, Qikai
CORPORATE SOURCE: Inst. Pharmacol. Toxicol., Acad. Milit. Med. Sci.,
Beijing, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1984), 19(5), 361-6
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



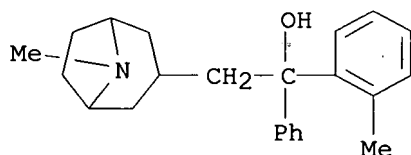
AB Tropanes I (R = H, R¹ = OH, R² = Ph, 2-MeC₆H₄, 4-MeC₆H₄, 4-MeOC₆H₄, 2-pyrrolyl, cyclopentyl, R³ = H, Ph, 2-MeC₆H₄, cyclopentyl; RR¹ = bond, R², R³ = same as above; R = R¹ = H, R², R³ = same as above) were prepared from 3-tropanone (II). Most of I showed anticholinergic activity in mice. Structure-activity relationships was discussed.

IT 98042-84-3P 98042-85-4P 98042-86-5P
98042-87-6P 98042-88-7P 98042-89-8P
98042-90-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, dehydration, and anticholinergic activity of)

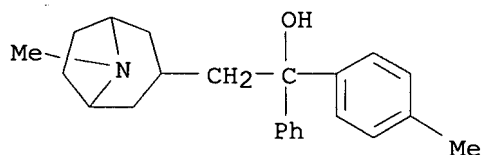
RN 98042-84-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α -(2-methylphenyl)- α -phenyl- (9CI) (CA INDEX NAME)



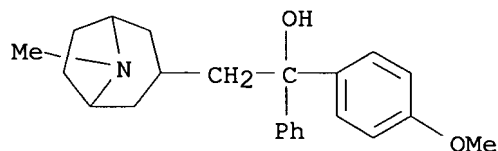
RN 98042-85-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α -(4-methylphenyl)- α -phenyl- (9CI) (CA INDEX NAME)



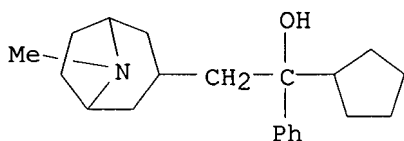
RN 98042-86-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α -(4-methoxyphenyl)-8-methyl- α -phenyl- (9CI) (CA INDEX NAME)

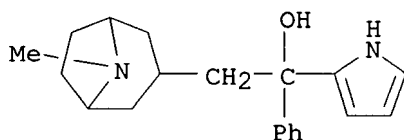


RN 98042-87-6 CAPLUS

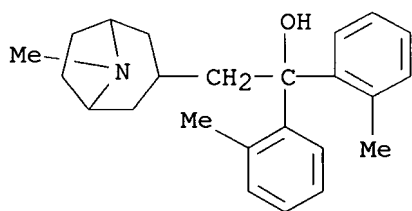
CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α -cyclopentyl-8-methyl- α -phenyl- (9CI) (CA INDEX NAME)



RN 98042-88-7 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α -phenyl- α -1H-pyrrol-2-yl- (9CI) (CA INDEX NAME)

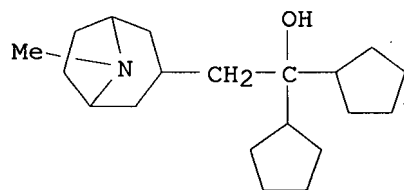


RN 98042-89-8 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α,α -bis(2-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

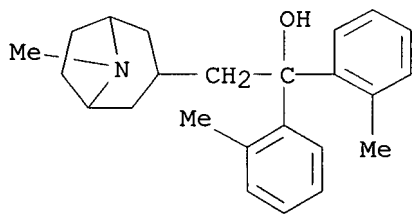
RN 98042-90-1 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -dicyclopentyl-8-methyl-, hydrochloride (9CI) (CA INDEX NAME)



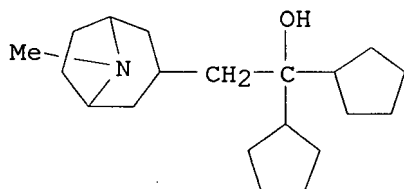
● HCl

IT 98043-07-3P 98043-08-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (Synthesis, salt formation, and antihelminthic activity of 8-azabicyclo[3.2.1]octane-3-ethanol derivatives)

RN 98043-07-3 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α,α -bis(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 98043-08-4 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-ethanol, α,α -dicyclopentyl-8-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1963:27160 CAPLUS

DOCUMENT NUMBER: 58:27160

ORIGINAL REFERENCE NO.: 58:4510b-h

TITLE: 3-Substituted tropane derivatives. III. 3-Substituted tropane carbinols, alkenes, and alkanes

AUTHOR(S): Zirkle, Charles L.; Anderson, Elvin L.; Craig, Paul N.; Gerns, Fred R.; Indik, Zena K.; Pavloff, Alex M.

CORPORATE SOURCE: Smith, Kline, & French Labs., Philadelphia, PA

SOURCE: Journal of Medicinal & Pharmaceutical Chemistry (1962), 5, 341-56

CODEN: JMPCAS; ISSN: 0095-9065

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 58:27160

GI For diagram(s), see printed CA Issue.

AB cf. CA 57, 3389b. For testing as cholinolytic agents, a series of 3-substituted tropane derivs. (Ia) were prepared by the following sequence: (X = 3 α -, or 3 β -tropinyl) X(CH₂)_nCO₂Me \rightarrow X(CH₂)_nCOR (I) \rightarrow X(CH₂)_nC(OH)RR' (II) \rightarrow X: CRR' (III), XCH: CRR' (IV), or XCH₂CH: CRR' (V) \rightarrow X(CH₂)_nCHRR' (VI) using the procedures followed by Adamson for open-chain analogs (Adamson, et al., CA 45, 8462f). Compds. prepared were (compound number, tropinyl group configuration, n, R,

R', %

yield, m.p., b.p./pressure, n_{25D}, salts prepared with m.p. of each, and relative activity (atropine = 1) given): I, α , 0, 2-thienyl, --, 4.4, --, 142-3°/0.4, --, picrate 259°, --; I, α , 1, Ph, --, 75, --, 140-3°/0.2, --, HCl 140-3°, --; I, α , 1, cyclohexyl, --, 35, --, 142-4°/0.8, --, picrate 165-8°, MeBr 297-9°, --; I, α , 1, 2-cyclohexylethyl, --, 74, --, 157-64°/0.7, 1.5010, picrate 148-50°, --; I, α , 2, Et, --, 77, --, 105-9°/0.35, 1.4870, picrate 123.0-4.5°, --; II, β , 0, Me, Me, 84, --, 116-19°/4, --, picrate

167.5-0.0°, MeBr 100-200°, --; II, β , 0, 2-thienyl, 0.0, 157.5-9.0, --, --, --, --; II, α , 0, Ph, Ph, 41, 185.5-6.0°, --, --, HCl 290°, citrate 112-18% picrate 214.0-15.5°, MeBr 309-10°, citrate 0.001, MeBr salt 0.1; II,

β , O, Ph, Ph, 86, 182-4°, --, --, HCl 325°, picrate
 230-1°, HCl salt 0.001; II, α , 1, Ph, Ph, 76, 147-8°,
 --, --, HCl 235°, HBr 230°, MeBr 282°, HCl salt 1,
 MeBr salt 0.1-1.0; II, β , 1, Ph, Ph, --, 178-9°, --, --, HCl
 253.5°, HCl salt 0.001; II, α , 1, cyclohexyl, Ph, 90,
 139.0-40.5°, --, --, HCl 254-5°, MeBr 262°, HCl salt
 0.1; II, α , 1, 2-cyclohexylethyl, Ph, above 66, 104-6°, --, --,
 HCl 215-16°, citrate 134-6°, MeBr 263-5°, HCl salt
 0.01; II, α , 1, Ph, Et, 12, --, --, --, HCl 237°, HCl salt
 0.01-0.10; II, α , 1, 2-pyridyl, Ph, 64, 117.5-18.5°, --, --,
 HI 194-6°, dipicrate 191-2°, MeBr 268°, HI salt 0.01;
 II, α , 1, Ph, 2-thienyl, 73, 137.5-9.0°, --, --, maleate
 145-6°, MeBr 256°, maleate 1; II, α , 1, 2-thienyl,
 2-thienyl, 69, 138-40°, --, --, HOAc 189-90°, MeBr
 245.5°, HOAc salt 1; II, α , 2, Ph, Ph, 92, 142-3°, --,
 --, HCl 249-50°, MeBr 299°, HCl salt 0.01, MeBr salt 0.1;
 III, --, --, Ph, Ph, --, --, --, --, HCl 275-8°, picrate 237-8°,
 MeBr 281-5°, HCl salt 0.01, MeBr salt 0.1-1.0; III, --, --,
 2-thienyl, 2-thienyl, 76 --, --, --, HCl 224-5°, --; IV, α , --,
 Ph, Ph, 100, 111-12°, --, --, HCl 217-18°, picrate
 186-8°, MeBr 286° HCl salt 1-10, MeBr salt 0.1-1.0; IV,
 α --, cyclohexyl, Ph, 95, --, --, --, HCl 195-6°, HI
 222.5-4.0°, MeBr 250-5° HCl salt 1; IV, α , --, Ph,
 Et, --, --, --, --, HCl 214-15°, --; IV, α , --, Ph, 2-pyridyl,
 78, 97.5-9.5°, --, --, tartrate 165-7°, picrate 204-6°, MeBr
 227-8°, --; IV, α , --, Ph, 2-thienyl, 96, 65-70°, --, --, HCl
 194-200° tartrate 174-5° picrate 209-10°, MeBr
 258-9°, tartrate 0.1-1.0; IV, α , --, 2-thienyl, 2-thienyl,
 76, --, --, --, --, HCl 230-2°, picrate 190-2°, MeBr 252-3°,
 HCl salt 1; V, α , --, Ph, Ph, --, --, --, citrate 174°, MeBr
 280°, citrate 0.001, MeBr salt 0.01; VI, α , O, Me, Me, -- --,
 109-11°/29, 1.4739, HCl 194- 6% MeI 224-6°, --; VI, α ,
 O, Ph, Ph, --, 70-2°, --, --, HCl above 310°, MeBr
 277-8°, HCl 0.01, MeBr salt 0.1; VI, α , 1, Ph, Ph, --, --, --, --,
 HCl 244-5°, MeBr 257-8° HCl salt 1-10, MeBr 1; VI, α ,
 1, cyclohexyl, Ph, --, --, --, --, HCl 167.0-8.5°, citrate
 153-5°, picrate 140-1°, MeBr 259-60°, citrate
 0.1-1.0; VI, α , 1, 2-cyclohexylethyl, Ph, --, --, --, --, HCl
 198-200°. --; VI, α , 1, Ph, 2-pyridyl, --, --, --, --, tartrate
 78-80° picrate 201-3°, --; and VI, α , 2, Ph,
 Ph, --, --, --, --, citrate 170°, MeBr 277°, citrate
 0.001-0.010, MeBr salt 0.01.

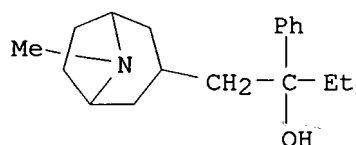
IT 88781-37-7P, 3 α -Tropaneethanol, α -ethyl- α -phenyl-
 , hydrochloride 90114-71-9P, 8-Azoniabicyclo[3.2.1]octane,
 3-(2-hydroxy-2,2-di-2-thienylethyl)-8,8-dimethyl-, bromide
 95131-86-5P, 3 α -Tropaneethanol, α , α -diphenyl-
 100167-89-3P, 3 α -Tropaneethanol, α , α -di-2-
 thienyl- 102133-77-7P, 8-Azoniabicyclo[3.2.1]octane,
 3-[2-hydroxy-2-phenyl-2-(2-thienyl)ethyl]-8,8-dimethyl-, bromide
 104038-18-8P, 3 α -Tropaneethanol, α -cyclohexyl- α -
 phenyl- 104038-19-9P, 3 α -Tropaneethanol,
 α -cyclohexyl- α -phenyl-, hydrochloride 106172-79-6P,
 3 α -Tropaneethanol, α , α -di-2-thienyl-, acetate
 106302-20-9P, 3 α -Tropaneethanol, α -phenyl- α -2-
 thienyl- 106655-98-5P, 8-Azoniabicyclo[3.2.1]octane,
 3-(2-hydroxy-2,2-diphenylethyl)-8,8-dimethyl-, bromide
 106954-22-7P, 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-2-phenyl-
 2-(2-pyridinyl)ethyl]-8,8-dimethyl-, bromide 107136-74-3P,
 3 α -Tropaneethanol, α -(2-ethylcyclohexyl)- α -phenyl-,
 hydrochloride 107136-75-4P, 3 α -Tropaneethanol,
 α -(2-ethylcyclohexyl)- α -phenyl- 107137-11-9P,
 3 α -Tropaneethanol, α -phenyl- α -2-thienyl-, maleate
 107307-44-8P, 3 α -Tropaneethanol, α -phenyl- α -2-

pyridyl- 107307-45-9P, 3 α -Tropaneethanol,
 α -phenyl- α -2-pyridyl-, dipicrate 107422-64-0P,
 3 α -Tropaneethanol, α -phenyl- α -2-pyridyl-, hydriodide
 888716-34-5P, 3 β -Tropaneethanol, α,α -diphenyl-,
 hydrochloride 888716-35-6P, 3 β -Tropaneethanol,
 α,α -diphenyl-

RL: PREP (Preparation)
 (preparation of)

RN 88781-37-7 CAPLUS

CN 3 α -Tropaneethanol, α -ethyl- α -phenyl-, hydrochloride
 (7CI) (CA INDEX NAME)

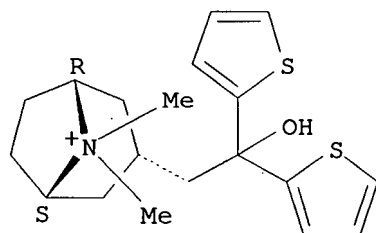


● HCl

RN 90114-71-9 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-di-2-thienylethyl)-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

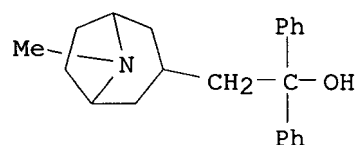
Relative stereochemistry.



● Br⁻

RN 95131-86-5 CAPLUS

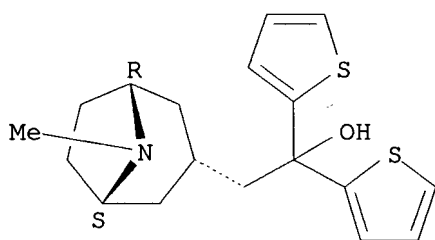
CN 3-Tropaneethanol, α,α -diphenyl- (6CI, 7CI) (CA INDEX NAME)



RN 100167-89-3 CAPLUS

CN 3 α -Tropaneethanol, α,α -di-2-thienyl- (7CI) (CA INDEX NAME)

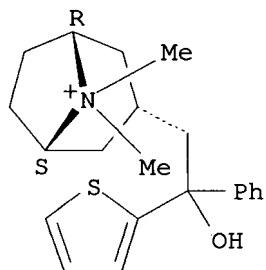
Relative stereochemistry.



RN 102133-77-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-2-phenyl-2-(2-thienyl)ethyl]-
8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

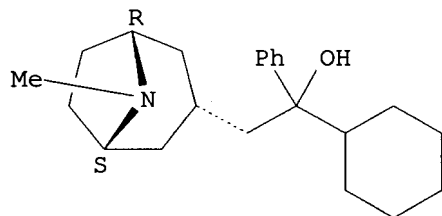


● Br⁻

RN 104038-18-8 CAPLUS

CN 3α-Tropaneethanol, α-cyclohexyl-α-phenyl- (7CI) (CA
INDEX NAME)

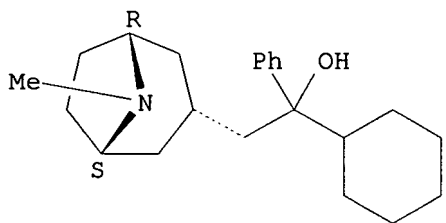
Relative stereochemistry.



RN 104038-19-9 CAPLUS

CN 3α-Tropaneethanol, α-cyclohexyl-α-phenyl-, hydrochloride
(7CI) (CA INDEX NAME)

Relative stereochemistry.

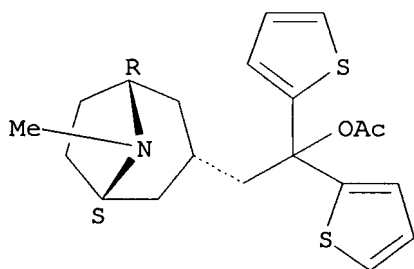


● HCl

RN 106172-79-6 CAPLUS

CN 3 α -Tropaneethanol, α,α -di-2-thienyl-, acetate (7CI) (CA INDEX NAME)

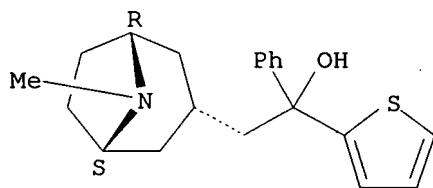
Relative stereochemistry.



RN 106302-20-9 CAPLUS

CN 3 α -Tropaneethanol, α -phenyl- α -2-thienyl- (7CI) (CA INDEX NAME)

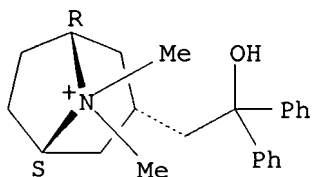
Relative stereochemistry.



RN 106655-98-5 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-(2-hydroxy-2,2-diphenylethyl)-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

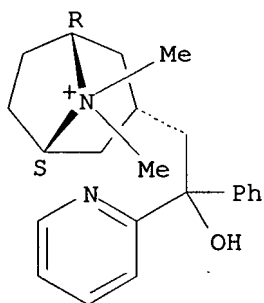


● Br⁻

RN 106954-22-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]octane, 3-[2-hydroxy-2-phenyl-2-(2-pyridinyl)ethyl]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

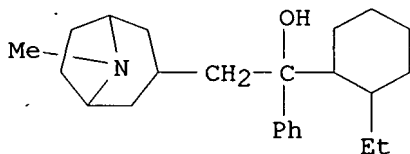
Relative stereochemistry.



● Br⁻

RN 107136-74-3 CAPLUS

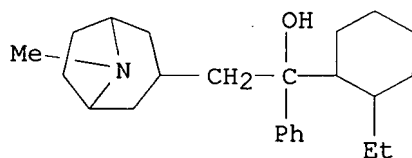
CN 3-Tropaneethanol, α -(2-ethylcyclohexyl)- α -phenyl-, hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 107136-75-4 CAPLUS

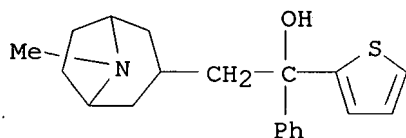
CN 3-Tropaneethanol, α -(2-ethylcyclohexyl)- α -phenyl- (7CI) (CA INDEX NAME)



RN 107157-11-9 CAPLUS
 CN 3α-Tropaneethanol, α-phenyl-α-2-thienyl-, maleate (7CI)
 (CA INDEX NAME)

CM 1

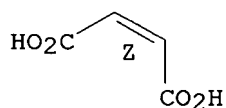
CRN 102239-31-6
 CMF C20 H25 N O S



CM 2

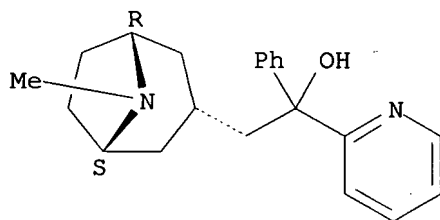
CRN 110-16-7
 CMF C4 H4 O4

Double bond geometry as shown.



RN 107307-44-8 CAPLUS
 CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl-α-phenyl-α-2-pyridinyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



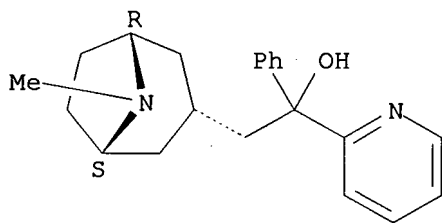
RN 107307-45-9 CAPLUS
 CN 3α-Tropaneethanol, α-phenyl-α-2-pyridyl-, dipicrate
 (7CI) (CA INDEX NAME)

CM 1

CRN 107307-44-8

CMF C21 H26 N2 O

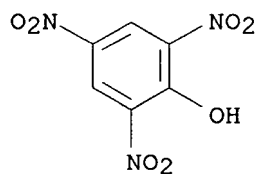
Relative stereochemistry.



CM 2

CRN 88-89-1

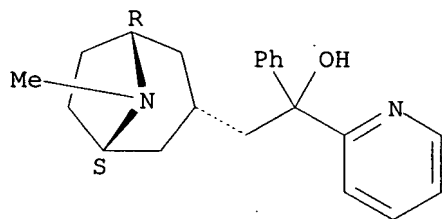
CMF C6 H3 N3 O7



RN 107422-64-0 CAPLUS

CN 3α-Tropaneethanol, α-phenyl-α-2-pyridyl-, hydriodide
(7CI) (CA INDEX NAME)

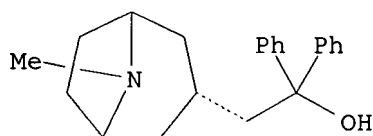
Relative stereochemistry.



●x HI

RN 888716-34-5 CAPLUS

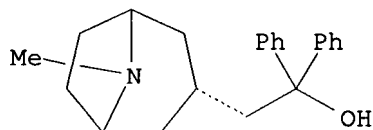
CN 3β-Tropaneethanol, α,α-diphenyl-, hydrochloride (7CI)
(CA INDEX NAME)



● HCl

RN 888716-35-6 CAPLUS

CN 3β-Tropaneethanol, α,α-diphenyl- (7CI) (CA INDEX NAME)



L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1958:93024 CAPLUS

DOCUMENT NUMBER: 52:93024

ORIGINAL REFERENCE NO.: 52:16402b-f

TITLE: 8-Alkyltropene derivatives

INVENTOR(S): Zirkle, Charles L.

PATENT ASSIGNEE(S): Smith, Kline & French Laboratories

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 2800482 | | 19570723 | US 1955-519650 | 19550701 |

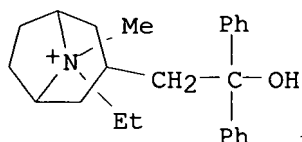
AB 3-Benzhydrylidene-tropane picrate m. 237-8° (aqueous alc.); methobromide, m. 281-5° (iso-PrOH-Me₂CO); etho(ethyl sulfate), white solid. Di(2-thienyl)-3-tropanylcarbinol (0.5 g.) in CHCl₃ treated with dry HCl until strongly acid gave 2-[di(2-thienyl)methylidene]tropane-HCl, m. 224-5° (alc. Et₂O). 1,1-Di(2-thienyl)-3-tropaneethanol (1 g.), 2 g. (CO₂H)₂, and 3 ml. H₂O refluxed 2 hrs. gave 1,1-di(2-thienyl)-2-(3-tropanyl)ethylene, m. 74-6° (ligroine); picrate, m. 190-2° (aqueous Me₂CO); HCl salt, m. 230-2° (alc. Et₂O); methobromide, m. 252-3°. 1,1-Diphenyl-2-(3-tropanyl)ethylene methobromide, m. 286° (alc.); maleate; metho-p-toluene-sulfonate, white solid. 1-Phenyl-1-(2-thienyl)-3-tropaneethanol (9.7 g.), 19.4 g. (CO₂H)₂, and 29 ml. H₂O refluxed 2 hrs. and the mixture made alkaline gave 1-phenyl-1-(2-thienyl)-2-(3-tropanyl)ethylene, m. 69-72°; picrate, m. 209-10°; tartrate, m. 174-5° (alc.-Et₂O); methobromide, m. 258-9° (alc.-Et₂O). 1-Phenyl-1-(2-pyridyl)-2-(3-tropanyl)ethylene methobromide, m. 228-30° (alc.-Et₂O); tartrate, m. 165-7° (alc.-Et₂O). 1-(2-Cyclohexylethyl)-1-phenyl-3-tropaneethanol (1 g.) in 10 ml. AcOH and 3 ml. 37% HCl refluxed 0.5 hr. gave the dehydration product, λ 235 mμ, log ε 3.58. 1-Cyclohexyl-1-phenyl-2-(3-tropanyl)ethylene-HI, m. 222.5-4.0°; methobromide, m. 250-2° (alc.-Et₂O); maleate; white solid. 1,1-Diphenyl-3-tropaneethanol (10 g.) in 50 ml. 37% HCl 1.5 hrs. at 100° gave 1,1-diphenyl-3-(3-tropane-1-propene), m. 59-60°, b_{0.4} 170-3°; citrate, m. 174°. 1-(2-Pyridyl-1-p-tolyl-4-(3-

tropanyl)-1-butanol (0.5 g.) and 2 ml. 85% H2SO4 heated 15 min. at 155° gave 1-(2-pyridyl)-1-p-tolyl-4-(3-tropanyl)-1-butene. A similar dehydration of 1-cyclopentyl-1-phenyl-3-tropanebutanol with HCl gave the corresponding butene as the HCl salt; neutralization with NH4OH gave the free base as a yellow oil.

IT 124145-26-2P, 8-Ethyl-3-(2-hydroxy-2,2-diphenylethyl)tropanium ethyl sulfate
 RL: PREP (Preparation)
 (preparation of)
 RN 124145-26-2 CAPLUS
 CN 8-Ethyl-3-(2-hydroxy-2,2-diphenylethyl)tropanium ethyl sulfate (6CI) (CA INDEX NAME)

CM 1

CRN 124145-25-1
 CMF C24 H32 N O



CM 2

CRN 48028-76-8
 CMF C2 H5 O4 S

Et-O-SO3⁻

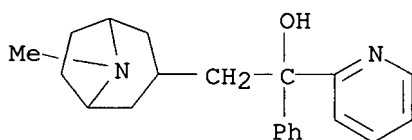
L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1958:93023 CAPLUS
 DOCUMENT NUMBER: 52:93023
 ORIGINAL REFERENCE NO.: 52:16401g-i,16402a-b
 TITLE: 8-Alkyl-nortropane derivatives
 INVENTOR(S): Zirkle, Charles L.
 PATENT ASSIGNEE(S): Smith, Kline & French Laboratories
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 2800481 | | 19570723 | US 1955-519649 | 19550701 |

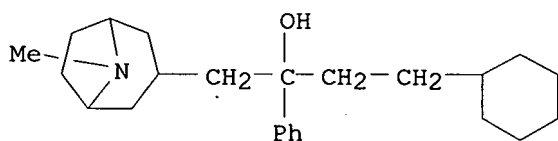
AB Me 3-tropanecarboxylate (10.1 g.) in 100 ml. Et2O stirred 1.5 hrs. at room temperature with PhLi gave diphenyl-3-tropanylcarbinol, m. 214-15° (aqueous MeOH); citrate, m. 112-18° (iso-PrOH-Et2O); methobromide, m. 309-10° (alc.). Et 3-tropaneacetate (I) (10 g.) in 20 ml. Et2O refluxed with PhLi and 11.8 g. thiophene in Et2O gave 1,1-di(2-thienyl 3-tropaneethanol, m. 138-40° (EtOAc); acetate, m. 189-90°; methobromide, m. 245.5° (alc.). 1,1-Diphenyl-3-tropaneethanol-HCl, m. 224-5° (alc. Et2O); methobromide, m. 282-3° (alc. Et2O). I with concentrated HCl gave 3-tropaneacetic acid-HCl (II), m. 172-4°. II (11 g.) refluxed with PhLi gave Ph 3-tropanylmethyl ketone (III), b0.2 138-41°. III (9 g.) stirred several hrs. at room temperature with PhLi

gave 1,1-diphenyl-3-tropaneethanol-HBr, m. 230°. III (10 g.) treated with PhLi and thiophene gave 1-phenyl-1-(2-thienyl)-3-tropaneethanol, m. 137.5-9.0°; maleate, m. 145-6° (alc.-Et2O); methobromide, m. 256° (alc.). 1-Phenyl-1-(2-pyridyl)-3-tropaneethanol-HI, m. 194-6°; methobromide, m. 268° (alc.). 1-Ethyl-1-phenyl-3-tropaneethanol-HCl, m. 237-7.5° (alc.). 1-Cyclohexyl-1-phenyl-3-tropaneethanol-HCl, m. 254-5° (alc.-Et2O); methobromide, m. 262° (alc.-Et2O). 2-Cyclohexylethyl 3-tropanylmethyl ketone picrate, m. 148-50°; 1-(2-cyclohexylethyl)-1-phenyl-3-tropaneethanol-HCl, m. 215-16°; citrate, m. 134-6° (Me2CO-MeOH); methobromide, m. 263-5°. II (3.7 g.) treated with SOCl2 gave the acid chloride HCl salt which treated with CH2N2 gave the diazomethyl 3-tropanylmethyl ketone and subsequent treatment with Ag2O oxide gave Et 3-tropanepropionate (IV). IV (18 g.) treated with PhLi as above gave 1,1-diphenyl-3-tropanepropanol, m. 141-2.5°; HCl salt, m. 249-50°; methobromide salt, m. 299°. Cyclopentyl 3-(3-tropanyl)propyl ketone (6.6 g.) treated with PhLi as above gave 1-cyclopentyl-1-phenyl-3-tropanebutanol. Diphenyl-3-tropanecarbinol etho(ethyl sulfate) was a white solid. 1,1-Diphenyl-3-tropaneethanol metho-p-toluenesulfonate, m. 172-4°; etho(ethyl sulfate), m. 234-5°; butobromide, m. 225-7°; butiodide, m. 227-9°. 1-Cyclohexyl-1-phenyl-2-(3-tropane)ethanol butyl bromide was a white solid.

IT 102470-52-0, 3-Tropaneethanol, α -phenyl- α -2-pyridyl-
103034-31-7, 3-Tropaneethanol, α -(2-cyclohexylethyl)- α -phenyl-
(derivs.)
RN 102470-52-0 CAPLUS
CN 3-Tropaneethanol, α -phenyl- α -2-pyridyl- (6CI) (CA INDEX NAME)



RN 103034-31-7 CAPLUS
CN 3-Tropaneethanol, α -(2-cyclohexylethyl)- α -phenyl- (6CI) (CA INDEX NAME)

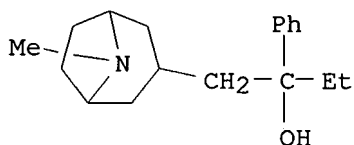


IT 88781-37-7P, 3-Tropaneethanol, α -ethyl- α -phenyl-,
hydrochloride 95131-86-5P, 3-Tropaneethanol,
 α , α -diphenyl-, hydrohalides 101781-55-9P,
3-Tropaneethanol, α , α -di-2-thienyl- 102239-31-6P,
3-Tropaneethanol, α -phenyl- α -2-thienyl- 102239-71-4P
, 3-Tropaneethanol, α , α -di-2-thienyl-, acetate
103757-37-5P, 3-Tropaneethanol, α -cyclohexyl- α -phenyl-
, hydrochloride 107157-11-9P, 3-Tropaneethanol,
 α -phenyl- α -2-thienyl-, maleate 112717-86-9P,
113222-03-2P, 3-(2-hydroxy-2,2-di-2-thienylethyl)- α -
methyltropanium bromide 114863-60-4P, 3-(β -Cyclohexyl-
 β -hydroxyphenethyl)-8-methyltropanium bromide 119016-27-2P,

3-(4-Cyclohexyl-2-hydroxy-2-phenylbutyl)-8-methyltropanium bromide
 119640-59-4P, 8-Butyl-3-(β -cyclohexyl- β -
 hydroxyphenethyl)tropanium bromide 124145-26-2P,
 8-Ethyl-3-(2-hydroxy-2,2-diphenylethyl)tropanium ethyl sulfate
 RL: PREP (Preparation)
 (preparation of)

RN 88781-37-7 CAPLUS

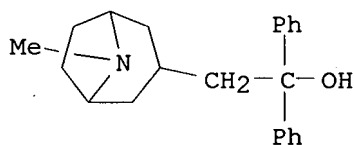
CN 3 α -Tropaneethanol, α -ethyl- α -phenyl-, hydrochloride
 (7CI) (CA INDEX NAME)



● HCl

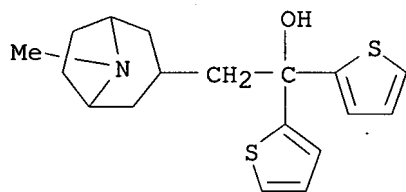
RN 95131-86-5 CAPLUS

CN 3-Tropaneethanol, α,α -diphenyl- (6CI, 7CI) (CA INDEX NAME)



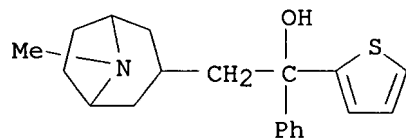
RN 101781-55-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-ethanol, 8-methyl- α,α -di-2-thienyl-
 (9CI) (CA INDEX NAME)



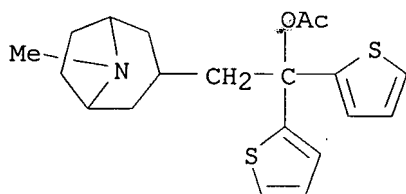
RN 102239-31-6 CAPLUS

CN 3-Tropaneethanol, α -phenyl- α -2-thienyl- (6CI) (CA INDEX NAME)

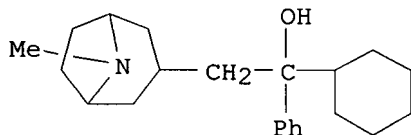


RN 102239-71-4 CAPLUS

CN 3-Tropaneethanol, α,α -di-2-thienyl- (6CI) (CA INDEX NAME)



RN 103757-37-5 CAPLUS
 CN 3-Tropaneethanol, α -cyclohexyl- α -phenyl-, hydrochloride (6CI)
 (CA INDEX NAME)

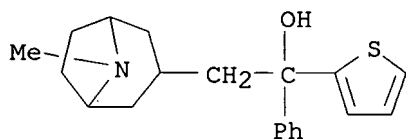


● HCl

RN 107157-11-9 CAPLUS
 CN 3 α -Tropaneethanol, α -phenyl- α -2-thienyl-, maleate (7CI)
 (CA INDEX NAME)

CM 1

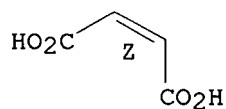
CRN 102239-31-6
 CMF C20 H25 N O S



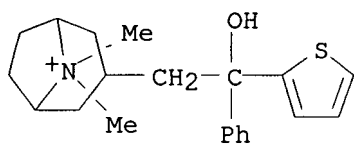
CM 2

CRN 110-16-7
 CMF C4 H4 O4

Double bond geometry as shown.



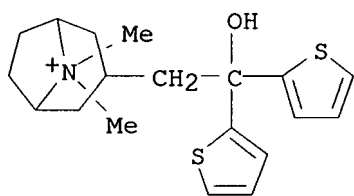
RN 112717-86-9 CAPLUS
 CN 3-(β -Hydroxy- β -2-thienylphenethyl)-8-methyltropanium bromide
 (6CI) (CA INDEX NAME)



● Br⁻

RN 113222-63-2 CAPLUS

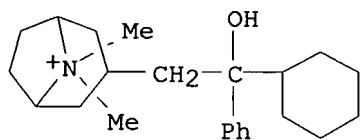
CN 3-(2-Hydroxy-2,2-di-2-thienylethyl)-8-methyltropanium bromide (6CI) (CA INDEX NAME)



● Br⁻

RN 114863-60-4 CAPLUS

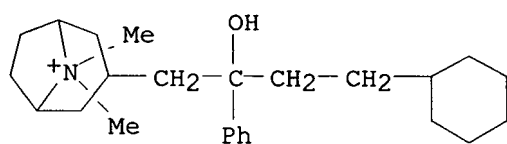
CN 3-(β-Cyclohexyl-β-hydroxyphenethyl)-8-methyltropanium bromide (6CI) (CA INDEX NAME)



● Br⁻

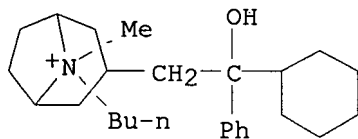
RN 119016-27-2 CAPLUS

CN 3-(4-Cyclohexyl-2-hydroxy-2-phenylbutyl)-8-methyltropanium bromide (6CI) (CA INDEX NAME)



● Br⁻

RN 119640-59-4 CAPLUS
CN 8-Butyl-3-(β -cyclohexyl- β -hydroxyphenethyl)tropanium bromide
(6CI) (CA INDEX NAME)

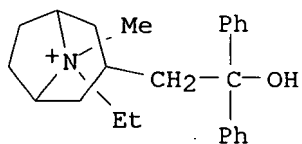


● Br⁻

RN 124145-26-2 CAPLUS
CN 8-Ethyl-3-(2-hydroxy-2,2-diphenylethyl)tropanium ethyl sulfate (6CI) (CA
INDEX NAME)

CM 1

CRN 124145-25-1
CMF C24 H32 N O



CM 2

CRN 48028-76-8
CMF C2 H5 O4 S

Et-O-SO₃⁻

L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1958:93020 CAPLUS
DOCUMENT NUMBER: 52:93020
ORIGINAL REFERENCE NO.: 52:16399b-i,16400a-i,16401a
TITLE: 8-Alkylnortropane derivatives
INVENTOR(S): Zirkle, Charles L.
PATENT ASSIGNEE(S): Smith, Kline & French Laboratories
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|--|-----------------|------------|
| US 2800476 | | 1957/07/23 | US 1955-519646 | 1955/07/01 |
| AB | | Some new physiologically active 3-substituted-8-alkylnortropanes, the nontoxic organic and inorg. salts, and the quaternary ammonium salts are | | |

described. Me 3-(3-hydroxytropene)carboxylate (10 g.) in 50 ml. Ac2O heated 4 hrs. at 100°, the excess Ac2O and AcOH removed in vacuo, the residue poured into H2O, extracted with Et2O, and the Et2O evaporated gave

Me

3-(3-acetoxytropene)-carboxylate (I), m. 66-7°, b15 162-5°.

I (29 g.) added dropwise during 7 min. to a vertical tube heated to 420° and filled with pieces of Pyrex tubing, the apparatus swept with N, the product dissolved in dilute HCl, extracted with Et2O, the aqueous acid solution

saturated with K2CO3, and the product separated gave Me 3-(2-tropene)carboxylate

(II), b15 131-4°, n25.5D 1.4998. II (13 g.) in 100 ml. MeOH hydrogenated over 5 g. Raney Ni at 50 lb./sq. in. at room temperature and the mixture distilled gave Me 3-tropanecarboxylate (III), b18 128-32°, n25D 1.4819. III (10.1 g.) in 100 ml. Et2O stirred 1.5 hrs. at room temperature

with

a solution of PhLi (from 34.5 g. PhBr and 3.5 g. Li) in 100 ml. Et2O, the mixture added to 150 ml. H2O, and the solid collected and purified gave diphenyl-3-tropanecarbinol (IV), m. 185.5-6.0° (EtOAc). IV (5.6 g.) in 20 ml. AcOH and 25 ml. dilute HCl refluxed 10 min. and evaporated to dryness gave 3-benzhydrylidene-tropane-HCl, m. 275-8° (alc.-Et2O); free base (V), a colorless oil. V (4 g.) in alc. hydrogenated over Raney Ni at 400 lb./sq. in. at 60° and the product chromatographed on Al2O3 gave 3-benzhydryltropane (VI), m. 70-2°. VI (1 g.) gave the HCl salt, unmelted below 310°; MeBr salt, m. 277-9°; etho(ethyl sulfate), white solid. Tropinone (13.9 g.), 11.3 g. NCCH2CO2Et, 1.6 g. NH4OAc, 7.3 g. AcOH, 20 ml. alc., and 0.6 g. Pd-C shaken under H at 50° and 60 lb./sq. in. gave Et α-cyano-3-tropaneacetate (VII), b0.3 116-18°, n24D 1.4942. VII (8 g.) in 30 ml. 37% HCl refluxed 13 hrs. and the crude 3-tropaneacetic acid-HCl esterified by leaving 3 days at room temperature in 50 ml. alc. with dry HCl gave Et 3-tropaneacetate (VIII), b2 104-5°, n25D 1.4774. VIII (42 g.) in 100 ml. Et2O similarly treated with PhLi gave 1,1-diphenyl-3-tropaneethanol (IX), m. 146.5-7.5° (EtOAc). IX (14.6 g.) in 29 ml. 37% HCl and 100 ml. AcOH refluxed 0.5 hr. gave 1,1-diphenyl-2-(3-tropanyl)ethylene (X), as the HCl salt, m. 217-18° (alc.-Et2O); free X, m. 109.5-10.0° (Me2CO). X (10 g.) in alc. hydrogenated over Raney Ni at 500 lb./sq. in. and 60° gave 1,1-diphenyl-2-(3-tropanyl)ethane, colorless oil; HCl salt, m. 244-5°; methobromide, m. 257-8° (alc.-Et2O); metho-p-toluenesulfonate, white solid; maleate, obtained by treating with maleic acid in alc. VIII in 37% HCl refluxed several hrs. gave 3-tropaneacetic acid-HCl (XI), m. 172-4° (MeOH-Et2O). XI (11 g.) similarly treated with PhLi followed by passage of HCl gave the HCl salt which when washed was reconverted to phenyl 3-tropanylmethyl ketone (XII), b0.2 138-41°. BuLi (from 3.7 g. BuCl and 0.7 g. Li) in 25 ml. Et2O treated slowly at -45° with 5.5 g. 2-bromopyridine in 10 ml. Et2O, the mixture stirred 10 min., and 2.5 g. XII in 30 ml. Et2O added slowly, the mixture stirred 15 min. at -15°, 50 ml. H2O added, the mixture stirred a further 15 min., a solid collected, the solid stirred with CHCl3 and H2O, and the CHCl3 layer removed, combined with the Et2O layer and evaporated gave 1-phenyl-1-(2-pyridyl)-3-tropaneethanol (XIII), m. 117-18.5° (EtOAc). XIII (1 g.) and 2 ml. 85% H2SO4 heated 15 min. at 155° and the solution made basic gave 1-phenyl-1-(2-pyridyl)-2-(3-tropanyl)ethylene (XIV), m. 97.5-9.5° (Me2CO). XIV 0.2 g.), 5 g. cyclohexene, and 0.3 g. 20% Pd-C refluxed 48 hrs. gave 1-phenyl-1-(2-pyridyl)-2-(3-tropanyl)ethane (XV) as a thick oil; picrate, m. 201-3° (aqueous Me2CO). XV also forms the tartrate, m. 78-80° (alc.-Et2O). XII (12.2 g.) in 50 ml. Et2O added slowly to

in

50 ml. H2O, the Et2O layer removed, and the aqueous phase extracted with CHCl3

gave 1-ethyl-1-phenyl-3-tropaneethanol (XVI), m. 119-20°. XVI (0.44 g.) was dehydrated by heating 40 min. at 100° with 3 ml. concentrated HCl to the ethylene, m. 170-200°. The ethylene hydrogenated in alc. over Raney Ni at 60° and 500 lb./sq. in. gave 1-ethyl-1-phenyl-2-(3-tropanyl)ethane (XVII), an oil, which formed an HCl salt. VIII (15 g.) similarly treated with 2-cyclohexylethylmagnesium bromide gave 2-cyclohexylethyl 3-tropanylmethyl ketone (XVIII), b0.7 157-64°, n_D 1.5010. XVIII (7.7 g.) in 20 ml. Et₂O similarly treated with PhLi (from 9.5 g. PhBr) in Et₂O at 0° gave 1-(2-cyclohexylethyl)-1-phenyl-3-tropaneethanol (XIX), m. 104-6° (EtOAc). XIX (0.5 g.), 1 ml. HI, 3 ml. AcOH, and 0.13 g. red P refluxed 3.5 hrs., the solution filtered, the filtrate diluted with H₂O, the crude HI salt separated as an oil and crystallized gave

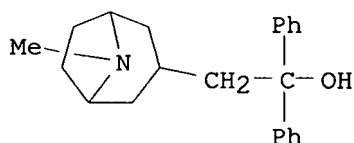
1-(2-cyclohexylethyl)-1-phenyl-2-(3-tropanyl)ethane-HI, m. 175° (alc.-Et₂O). The free base was a colorless oil; HCl salt, m. 198-200°. Similarly, 25 g. VIII reacted with cyclohexylmagnesium bromide to give cyclohexyl 3-tropanylmethyl ketone (XX), b0.9-1.1 142-53°, crystallizing to a white solid on standing. XX (10 g.) similarly treated with PhLi gave 1-cyclohexyl-1-phenyl-3-tropaneethanol (XXI), m. 139-40.5° (EtOAc). XXI (1 g.) refluxed 0.5 hr. with AcOH and concentrated HCl gave the ethylene

HCl

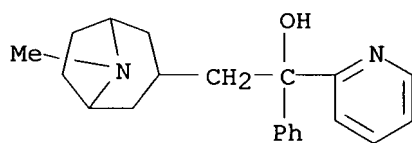
salt, m. 195-6°. Hydrolysis gave the free base as an oil. The free base (4.4 g.) hydrogenated over Raney Ni at 500 lb./sq. in. and 60° gave 1-cyclohexyl-1-phenyl-2-(3-tropanyl)ethane, colorless oil; HCl salt, m. 167-8.5°; citrate, m. 153-5°; butiodide, white solid. N-Isopropyl-nortropanone (16.7 g.), 11.3 g. NCCH₂CO₂Et, 1.6 g. NH₄OAc, 7.3 g. AcOH, 20 ml. alc., and 0.6 g. Pd-C shaken with H at 60 lb./sq. in. and 60°, the residue refluxed 12 hrs. with concentrated HCl gave crude 3-(N-isopropyl-nortropane)-acetic acid-HCl which was esterified with anhydrous MeOH and HCl 3 days at room temperature gave Me 3-(N-isopropyl-nortropane)acetate (XXII), b0.3 124-7°. XXII (11.3 g.) similarly treated with p-anisylmagnesium bromide gave p-anisyl 3-(N-isopropyl-nortropanyl)methyl ketone (XXIII), b0.2 160-4° and crystallized as a white solid. XXIII (7.5 g.) similarly treated with PhLi at 0° gave 1-(p-anisyl)-1-phenyl-3-(N-isopropyl-nortropane)ethanol (XXIV), white solid. Dehydration of XXIV with oxalic acid and H₂O gave the ethylene, which when hydrogenated as described above gave 1-p-anisyl-1-phenyl-2-[3-(N-isopropyl-nortropanyl)]ethane; methobromide salt. VIII (164 g.) in 500 ml. Et₂O refluxed 3 hrs. with 30 g. LiAlH₄ in 2 l. Et₂O gave 3-tropaneethanol (XXV), m. 63-4° (C₆H₆-ligroine). XXV (10 g.) in 50 ml. CHCl₃ treated with 14.3 g. SOCl₂, refluxed 45 min., and isolation gave 1-chloro-2-(3-tropanyl)ethane-HCl, m. 167-8° (alc.-Et₂O); free base, b0.9 81°. The base (47 g.) and 0.1 g. NaI refluxed 17 hrs. with 49 g. KCN in 175 ml. alc. and 75 ml. H₂O, NaOH added to the residual mixture, and the product isolated gave 3-tropanepropionitrile (XXVI), b0.3 114-16°, n_D 1.4958. XXVI (25 g.) in 100 ml. 37% HCl refluxed several hrs., and evaporated, the residue dissolved in 300 ml. alc., 5 ml. concentrated H₂SO₄ added, and the residue treated with 40% NaOH gave Et 3-tropanepropionate (XXVII), b0.4 97-100°, n_D 1.4770. Similarly XXVII treated with PhLi gave 1,1-diphenyl-3-tropanepropanol (XXVIII), m. 141-2.5°. Dehydration of XXVIII with concentrated HCl and 40% NaOH added gave 1,1-diphenyl-3-(3-tropanyl)-1-propene (XXIX), b0.4 170-3°, m. 59-60°. XXIX (4.7 g.) hydrogenated over 5 g. Raney Ni gave 1,1-diphenyl-3-(3-tropanyl)propane as an oil; citrate, m. 170°; methobromide, m. 277°. XXVII reduced with 3 g. LiAlH₄ gave 3-tropanepropanol (XXX), b2 128-31°. XXX (7.7 g.) treated with 10 g. SOCl₂ gave the HCl salt, which treated with K₂CO₃ liberated 1-chloro-3-(3-tropanyl)propane (XXXI), b2 100-2°. XXXI (5 g.) refluxed 10 hrs. with 0.1 g. NaI in 5 g. KCN, 10 ml. alc., and 5 ml. H₂O gave 3-tropanebutyronitrile (XXXII), b0.3 132-5°. XXXII (3 g.) refluxed several hrs. with concentrated HCl and the product treated with 40% NaOH gave Et 3-tropanebutyrate (XXXIII),

b0.5 115-19°. XXXIII (2.3 g.) similarly treated with p-tolyl magnesium bromide gave p-tolyl γ -(3-tropanyl)propyl ketone (XXXIV), b0.2 188-92°. XXXIV (1.5 g.) in 15 ml. Et2O treated with BuLi and 2-bromopyridine in Et2O gave 1-(2-pyridyl)-1-p-tolyl-3-tropanebutanol (XXXV), crystalline solid. XXXV (0.5 g.) dehydrated with 85% H2SO4, and the product reduced as described above gave 1-(2-pyridyl)-1-p-tolyl-4-(3-tropanyl)butane. II (9.2 g.) with MeLi gave dimethyl-3-tropanecarbinol, which was dehydrated by refluxing with AcOH and concentrated HCl, and the product hydrogenated over Raney Ni to give 3-isopropyltropene as an oil. XXII (11.3 g.) treated with C6H13Li gave 1,1-dihexyl-3-(N-isopropyl-nortropene)ethanol (XXXVI), white solid. XXXVI (8 g.) refluxed 45 min. with AcOH and HCl gave an unsatd. product as the HCl salt which was hydrogenated over Raney Ni to 2-hexyl-1-[3-(N-isopropyl-nortropanyl)]octane as an oil. XXXIII (14.3 g.) similarly treated with cyclopentylmagnesium bromide gave cyclopentyl 3-(3-tropanyl)propyl ketone (XXXVII), b0.9 152-6°. XXXVII (3.5 g.) dehydrated and the product reduced over Raney Ni gave 1-cyclopentyl-1-phenyl-4-(3-tropanyl)butane, a colorless oil.

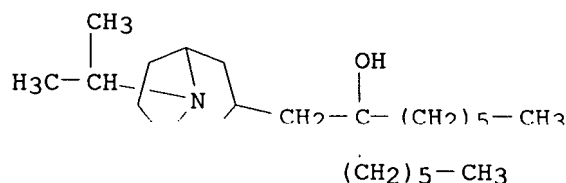
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 isopropyl- 102945-26-6P, 3-Tropaneethanol, α -cyclohexyl-
 α -phenyl- 103034-31-7P, 3-Tropaneethanol,
 α -(2-cyclohexylethyl)- α -phenyl- 108300-13-6P,
 3-Tropaneethanol, α -ethyl- α -phenyl- 114277-51-9P,
 3-Nortropaneethanol, 8-isopropyl- α -(p-methoxyphenyl)- α -phenyl-
 RL: PREP (Preparation)
 (preparation of)
 RN 95131-86-5 CAPLUS
 CN 3-Tropaneethanol, α,α -diphenyl- (6CI, 7CI) (CA INDEX NAME)



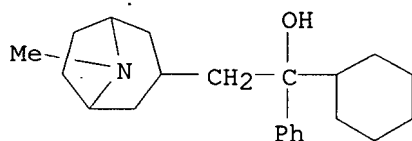
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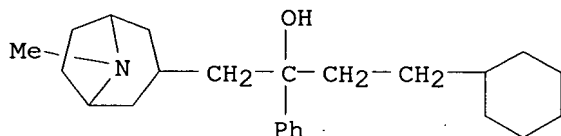
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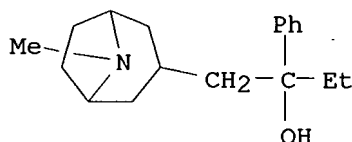
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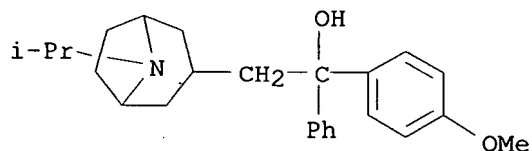
RN 103034-31-7 CAPLUS
 CN 3-Tropaneethanol, α -(2-cyclohexylethyl)- α -phenyl- (6CI) (CA INDEX NAME)



RN 108300-13-6 CAPLUS
 CN 3-Tropaneethanol, α -ethyl- α -phenyl- (6CI) (CA INDEX NAME)



RN 114277-51-9 CAPLUS
 CN 3-Nortropaneethanol, 8-isopropyl- α -(p-methoxyphenyl)- α -phenyl- (6CI) (CA INDEX NAME)



=> FIL STNGUIDE

COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 61.26 | 234.47 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| -8.58 | -8.58 |

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FILE 'STNGUIDE' ENTERED AT 09:09:28 ON 14 MAY 2007

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: May 11, 2007 (20070511/UP).

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(FILE 'HOME' ENTERED AT 09:03:29 ON 14 MAY 2007)

FILE 'REGISTRY' ENTERED AT 09:03:39 ON 14 MAY 2007

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
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L4 211 S L2 FULL

FILE 'CAPLUS' ENTERED AT 09:05:21 ON 14 MAY 2007

L5 11 S L4 FULL

FILE 'STNGUIDE' ENTERED AT 09:09:28 ON 14 MAY 2007

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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234.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-8.58

STN INTERNATIONAL LOGOFF AT 09:12:17 ON 14 MAY 2007